

10/06/2003

09831149.trn

=> d his

(FILE 'HOME' ENTERED AT 09:44:12 ON 06 OCT 2003)

FILE 'REGISTRY' ENTERED AT 09:44:26 ON 06 OCT 2003

L1 STRUCTURE UPLOADED
L2 6 S L1
L3 110 S L1 FUL

FILE 'CAPLUS' ENTERED AT 09:45:08 ON 06 OCT 2003

L4 13 S L3

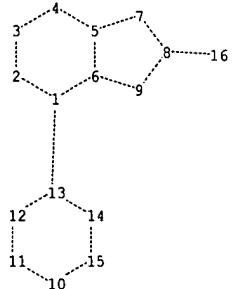
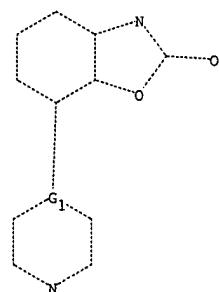
=> logoff

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

| | SINCE FILE ENTRY | TOTAL SESSION |
|--|---------------------|------------------|
| COST IN U.S. DOLLARS | 59.39 | 207.75 |
| FULL ESTIMATED COST | | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -8.46 | -8.46 |

STN INTERNATIONAL LOGOFF AT 09:45:44 ON 06 OCT 2003



chain nodes :

16

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

chain bonds :

1-13 8-16

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14

14-15

exact/norm bonds :

1-2 1-6 1-13 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 8-16 10-11 10-15 11-12 12-13

13-14 14-15

G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: ssspta1611hx1

PASSWORD :

TERMINAL (ENTER 1, 2, 3, OR ?): 2

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 SEP 09 CA/CAPLUS records now contain indexing from 1907 to the present
NEWS 4 Jul 15 Data from 1960-1976 added to RDISCLOSURE
NEWS 5 Jul 21 Identification of STN records implemented
NEWS 6 Jul 21 Polymer class term count added to REGISTRY
NEWS 7 Jul 22 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS 8 AUG 05 New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS 9 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 10 AUG 15 PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS 11 AUG 15 PCTGEN: one FREE connect hour, per account, in September 2003
NEWS 12 AUG 15 RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS 13 AUG 15 TEMA: one FREE connect hour, per account, in September 2003
NEWS 14 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 15 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 16 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation
NEWS 17 AUG 18 Simultaneous left and right truncation added to ANABSTR
NEWS 18 SEP 22 DIPPR file reloaded
NEWS 19 SEP 25 INPADOC: Legal Status data to be reloaded
NEWS 20 SEP 29 DISSABS now available on STN

NEWS EXPRESS OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'REGISTRY' ENTERED AT 09:44:26 ON 06 OCT 2003
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 OCT 2003 HIGHEST RN 598296-84-5
DICTIONARY FILE UPDATES: 3 OCT 2003 HIGHEST RN 598296-84-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

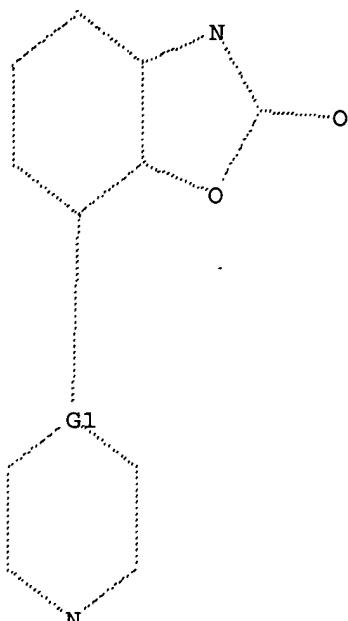
Crossover limits have been increased. See **HELP CROSSOVER** for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

```
=> Uploading 09831149.str
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L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 09:44:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE
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100.0% PROCESSED 8 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8 TO 329
PROJECTED ANSWERS: 6 TO 266
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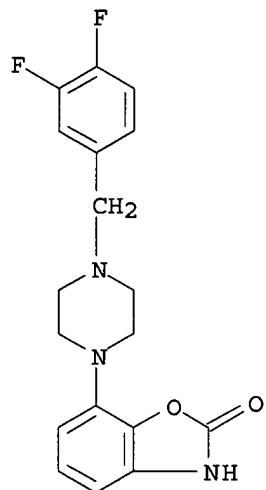
L2 6 SEA SSS SAM L1

=> d scan

10/06/2003

09831149.trn

L2 6 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN 2 (3H) -Benzoxazolone, 7-[4-[(3,4-difluorophenyl)methyl]-1-piperazinyl]-
(9CI)
MF C18 H17 F2 N3 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

10/06/2003

09831149.trn

=> s 11 ful
FULL SEARCH INITIATED 09:45:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 246 TO ITERATE

100.0% PROCESSED 246 ITERATIONS 110 ANSWERS
SEARCH TIME: 00.00.01

L3 110 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
SESSION
FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 09:45:08 ON 06 OCT 2003
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FILE COVERS 1907 - 6 Oct 2003 VOL 139 ISS 15
FILE LAST UPDATED: 5 Oct 2003 (20031005/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

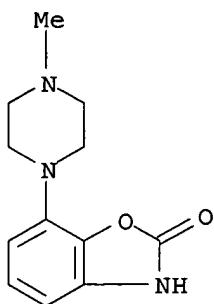
=> s 13
L4 13 L3

=> d abs ibib hitstr 1-
YOU HAVE REQUESTED DATA FROM 13 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
 AB The invention discloses the use of a compd. or a combination of compds. having partial dopamine D2 receptor agonistic activity and serotonin and/or noradrenaline reuptake inhibitory activity, for the prepn. of a pharmaceutical compn. for the treatment of psychiatric and/or neurol. disorders caused by disturbances of the major monoaminergic (dopamine, serotonin and/or noradrenaline) systems or that can be treated via manipulation of those systems.
 ACCESSION NUMBER: 2003:652119 CAPLUS
 DOCUMENT NUMBER: 139:173826
 TITLE: Partial dopamine D2 receptor agonist plus serotonin and/or noradrenaline inhibitory activity for the treatment of psychiatric and/or neurological disorders
 PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.
 SOURCE: Eur. Pat. Appl., 17 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

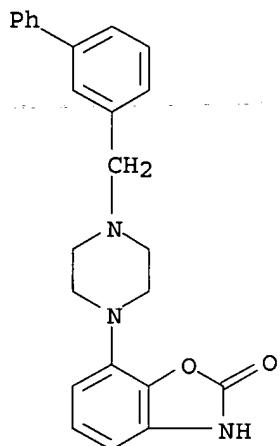
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| EP 1336406 | A1 | 20030820 | EP 2002-76344 | 20020214 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| WO 2003068207 | A2 | 20030821 | WO 2003-EP50015- | 20030211 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: EP 2002-76344 A 20020214
 IT 269718-83-4, SLV 308 350992-10-8, Bifeprunox
 452322-34-8 452322-35-9 577991-83-4
 577991-84-5 577991-85-6
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (partial dopamine D2 receptor agonist with serotonin and/or noradrenaline inhibitory activity for treatment of psychiatric and/or neurol. disorders)
 RN 269718-83-4 CAPLUS
 CN 2(3H)-Benzoxazolone, 7-(4-methyl-1-piperazinyl)-, monohydrochloride (9CI)
 (CA INDEX NAME)

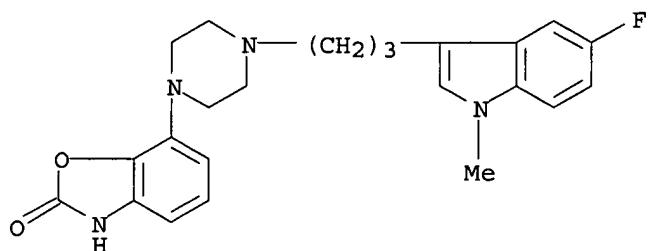


● HCl

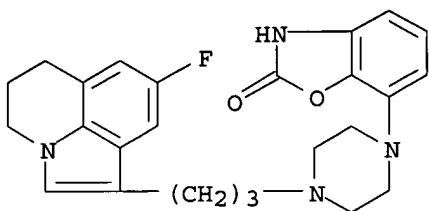
RN 350992-10-8 CAPLUS
 CN 2(3H)-Benzoxazolone, 7-[4-((1,1'-biphenyl)-3-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 452322-34-8 CAPLUS
 CN 2(3H)-Benzoxazolone, 7-[4-[(3-(5-fluoro-1-methyl-1H-indol-3-yl)propyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

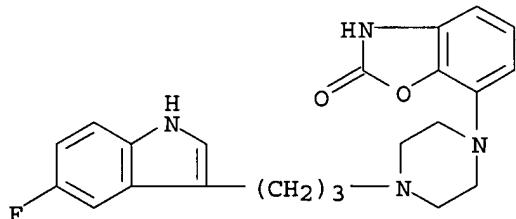


RN 452322-35-9 CAPLUS
 CN 2(3H)-Benzoxazolone, 7-[4-[(3-(8-fluoro-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-1-yl)propyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



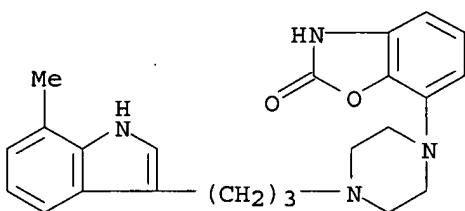
RN 577991-83-4 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-[3-(5-fluoro-1H-indol-3-yl)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



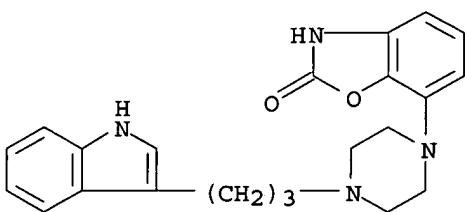
RN 577991-84-5 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-[3-(7-methyl-1H-indol-3-yl)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 577991-85-6 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-[3-(1H-indol-3-yl)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/06/2003

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L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
 AB A review. This month's Annual Review is dedicated to updated information on neurol. drugs. The following table lists 154 drugs under development in this area, some of which have been published in previous issues of the journal and others that have been marketed for an indication other than that discussed in the review. Information on the following 28 products is updated here: cevimeline hydrochloride, CPI-1189, donepezil hydrochloride, ebselen, edaravone, etilevodopa, fampridine, FK-960, KW-6002, leteprinim potassium, modafinil, natalizumab, NXY-059, pregabalin, rasagiline mesilate, repinotan hydrochloride, retigabine, rivastigmine tartrate, rotigotine hydrochloride, safinamide mesilate, sapropterin dihydrochloride, SLV-308, stiripentol, T-588, talampanel, tomoxetine hydrochloride, xaliproden hydrochloride and zanapezil fumarate.

ACCESSION NUMBER: 2002:966169 CAPLUS

DOCUMENT NUMBER: 139:110860

TITLE: Neurologic drugs

AUTHOR(S): Mealy, N. E.; Castaner, R.; Martin, L.; del Fresno, M.; Revel, L.; Bayes, M.; Sorbera, L. A.; Cole, P.; Cullell-Young, M.; Leeson, P. A.; Prous, J.

CORPORATE SOURCE: Spain

SOURCE: Drugs of the Future (2002), 27(9), 879-915

CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

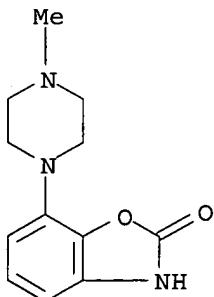
LANGUAGE: English

IT 269718-83-4, SLV 308

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (updated annual review of neurol. drugs)

RN 269718-83-4 CAPLUS

CN 2(3H)-Benzoxazolone, 7-(4-methyl-1-piperazinyl)-, monohydrochloride (9CI)
 (CA INDEX NAME)



● HCl

REFERENCE COUNT: 172 THERE ARE 172 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
 AB She present invention relates to a combination of therapeutic agents useful in the treatment of obstructive airways and other inflammatory diseases comprising (i) a dopamine D2-receptor agonist that is therapeutically effective in the treatment of said diseases when administered by inhalation; together with (ii) an anti-cholinergic agent consisting of a member selected from the group consisting of tiotropium and derivs. thereof that is therapeutically effective in the treatment of said diseases when administered by inhalation; as well as to a method of treating said obstructive airways and other inflammatory diseases comprising administering to said mammal by inhalation a therapeutically effective amt. of said combination of therapeutic agents; and a pharmaceutical compn. comprising a pharmaceutically acceptable carrier together with said combination of therapeutic agents; and a package contg. a pharmaceutical compn. for insertion into a device capable of simultaneous or sequential delivery of said pharmaceutical compn. in the form of an aerosol or dry powder dispersion to said mammal, where said device is a metered dose inhaler or a dry powder inhaler. It is preferred that said dopamine D2-receptor agonist component be bromocriptine mesylate, naxagolide hydrochloride, cabergoline, pergolide mesylate, quinpirole hydrochloride, or ropinirole hydrochloride; and that said anti-cholinergic agent component be tiotropium bromide.

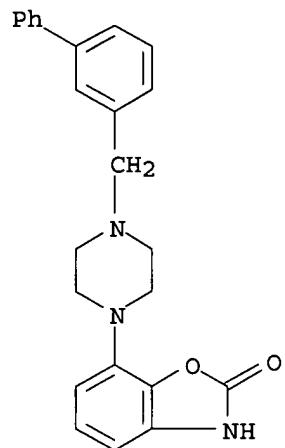
ACCESSION NUMBER: 2002:927246 CAPLUS
 DOCUMENT NUMBER: 138:8349
 TITLE: Combination of a dopamine D2-receptor agonist and tiotropium or a derivative thereof for treating obstructive airways and other inflammatory diseases
 INVENTOR(S): Yeadon, Michael
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma KG, Germany
 SOURCE: PCT Int. Appl., 122 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2002096422 | A2 | 20021205 | WO 2002-EP5642 | 20020523 |
| WO 2002096422 | A3 | 20030220 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| PRIORITY APPLN. INFO.: | | | US 2001-293630P | P 20010525 |
| | | | US 2001-303859P | P 20010709 |

OTHER SOURCE(S): MARPAT 138:8349
 IT 350992-10-8
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (combination of dopamine D2-receptor agonist and tiotropium or deriv. thereof for treating obstructive airways and other inflammatory diseases)

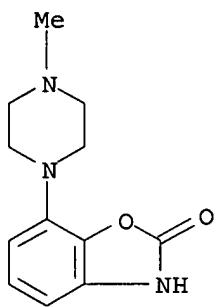
RN 350992-10-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-([1,1'-biphenyl]-3-ylmethyl)-1-piperazinyl]-
(9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AB Parkinson's disease (PD) is a progressive neurodegenerative disorder primarily affecting dopaminergic neurons arising from the substantia nigra pars compacta projecting to the caudate nucleus and putamen. The neurodegeneration of this pathway results in the primary symptoms of PD such as postural rigidity, bradykinesia and a resting tremor. In addn. to the motor abnormalities that are seen, many Parkinsonian patients also suffer from secondary symptoms such as depression, sleep disturbances and dementia which can affect quality of life indexes more than the primary motor abnormalities. We decided to develop candidate antiparkinsonian compds. combining partial dopamine D2 receptor agonism with full 5-HT1A receptor agonism. A range of compds. have been synthesized and tested in vitro and in animal models predictive of efficacy in Parkinson's disease and for potential antidepressant and anxiolytic-like efficacy. The non-ergot 7-(4-methyl-1-piperazinyl)-2(3H)-benzoxazolone monohydrochloride (SLV308) was selected as a compd. sharing potent partial dopamine D2 receptor agonism in combination with weaker full 5-HTA receptor agonism, ultimately providing an antiparkinsonian, antidepressant and anxiolytic-like profile. In the present chapter we assess some of the preclin. effects of SLV308 and other ref. compds. on putative indexes of in vitro receptor binding and functional activity and in vivo behavioral effects.

ACCESSION NUMBER: 2002:879590 CAPLUS
DOCUMENT NUMBER: 139:46879
TITLE: SLV308: a novel antiparkinsonian agent with antidepressant and anxiolytic properties
AUTHOR(S): McCreary, Andrew G.; Ronken, Eric; Van der Heyden, Jan; Herremans, Arnoud; Tuinstra, Tinka; Long, Steve; Van Scharrenburg, Guus
CORPORATE SOURCE: Solvay Research Laboratories, Solvay Pharmaceuticals, Weesp, Neth.
SOURCE: Solvay Pharmaceuticals Conferences (2002), 1 (Parkinson's Disease), 51-58
CODEN: SPCOCJ; ISSN: 1566-7685
PUBLISHER: IOS Press
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 269718-83-4, SLV 308
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel antiparkinsonian agent SLV308 with antidepressant and anxiolytic properties)
RN 269718-83-4 CAPLUS
CN 2(3H)-Benzoxazolone, 7-(4-methyl-1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Phenylpiperazine derivs. I, II, useful for treating CNS disorders, in particular Parkinson's disease, are prep'd.

ACCESSION NUMBER: 2002:658118 CAPLUS

DOCUMENT NUMBER: 137:203012

TITLE: Process for the preparation of phenylpiperazine derivatives useful in the treatment of Parkinson's disease

INVENTOR(S): Feenstra, Roelof W.; Ronken, Eric; Kruse, Cornelis G.; McCreary, Andrew C.; Van Scharrenburg, Gustaaf J. M.

PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.

SOURCE: PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

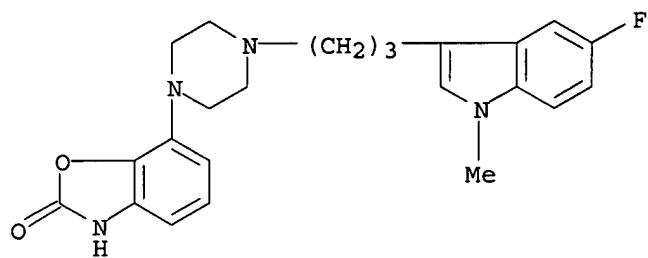
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| WO 2002066472 | A1 | 20020829 | WO 2002-EP1793 | 20020219 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| BR 2002005220 | A | 20030624 | BR 2002-5220 | 20020219 |
| US 2003162791 | A1 | 20030828 | US 2003-343232 | 20030130 |
| PRIORITY APPLN. INFO.: | | | EP 2001-200609 A | 20010221 |
| | | | WO 2002-EP1793 W | 20020219 |

IT 452322-34-8P 452322-35-9P

RL: IMF (Industrial manufacture); PREP (Preparation)
 (process for the prepn. of phenylpiperazine derivs. useful in the treatment of Parkinson's disease)

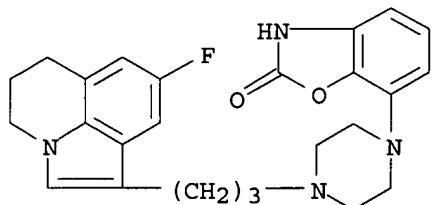
RN 452322-34-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[3-(5-fluoro-1-methyl-1H-indol-3-yl)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 452322-35-9 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[3-(8-fluoro-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-1-yl)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



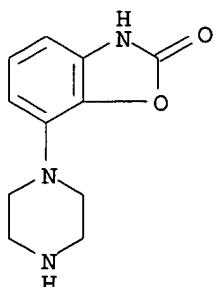
IT 105685-26-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(process for the prepn. of phenylpiperazine derivs. useful in the treatment of Parkinson's disease)

RN 105685-26-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-(1-piperazinyl)- (9CI) (CA INDEX NAME)

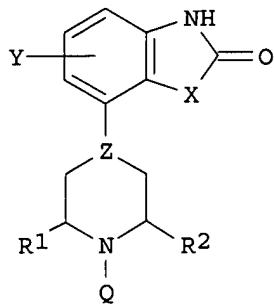


REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
GI



AB Piperazine and piperidine derivs. I [Y is hydrogen, halogen, alkyl (1-3C), or CN, CF₃, OCF₃, SCF₃, alkoxy(1-3C), amino or mono- or dialkyl(1-3C) substituted amino or hydroxy; X is O, S, SO, SO₂; Z represents -C, :C, -N; R₁, R₂ independently represent hydrogen or alkyl (1-3C); Q is benzyl or 2-, 3- or 4-pyridylmethyl, which groups may be substituted with one or more more substituents from the group halogen, nitro, cyano, amino, mono- or di (1-3C)alkylamino, (1-3C) alkoxy, CF₃, OCF₃, SCF₃; (1-4C)-alkyl, (1-3C)alkylsulfonyl, hydroxyl were prep'd. These compds. have pharmacol. properties due to a combination of (partial) agonism towards the members of the dopamine D₂-receptor subfamily and affinity for relevant serotonin and/or noradrenergic receptors (no data). E.g., I (Y = H, X = O, Z = N, R₁ = R₂ = H, Q = CH₂Ph) was prep'd.

ACCESSION NUMBER: 2001:833313 CAPLUS

DOCUMENT NUMBER: 135:357946

TITLE: Preparation of piperazine and piperidine compounds and their (partial) agonism towards the members of the dopamine D₂-receptor subfamily and affinity for relevant serotonin and/or noradrenergic receptors

INVENTOR(S): Feenstra, Roelof W.; Van Der Heijden, Johannes A. M.; Kruse, Cornelis G.; Long, Stephen K.; Van Scharrenburg, Gustaaf J. M.

PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.

SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2001085725 | A1 | 20011115 | WO 2001-EP5320 | 20010510 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, | | | |

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 EP 1153925 A1 20011114 EP 2000-201699 20000512
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO
 EP 1283838 A1 20030219 EP 2001-938214 20010510
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 BR 2001010592 A 20030401 BR 2001-10592 20010510
 US 2003119849 A1 20030626 US 2002-257677 20021015
 NO 2002005365 A 20021108 NO 2002-5365 20021108
 PRIORITY APPLN. INFO.: EP 2000-201699 A 20000512
 WO 2001-EP5320 W 20010510

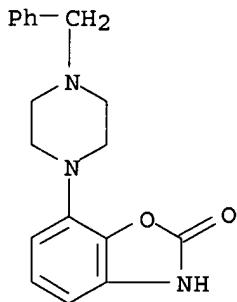
OTHER SOURCE(S): MARPAT 135:357946

IT 372110-21-9P 372110-22-0P 372110-23-1P
 372110-24-2P 372110-25-3P 372110-26-4P
 372110-27-5P 372110-28-6P 372110-29-7P
 372110-30-0P 372110-31-1P 372110-32-2P
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 372110-60-6P 372110-61-7P 372110-62-8P
 372110-63-9P 372110-64-0P 372110-65-1P
 372111-25-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of piperazine and piperidine compds. and their (partial) agonism towards the members of the dopamine D2-receptor subfamily and affinity for relevant serotonin and/or noradrenergic receptors)

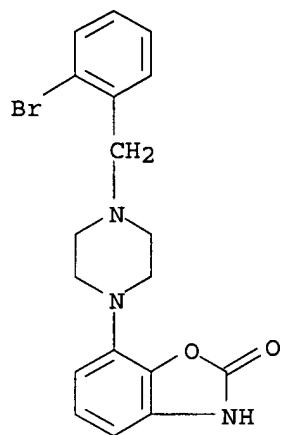
RN 372110-21-9 CAPLUS

CN 2 (3H)-Benzoxazolone, 7-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



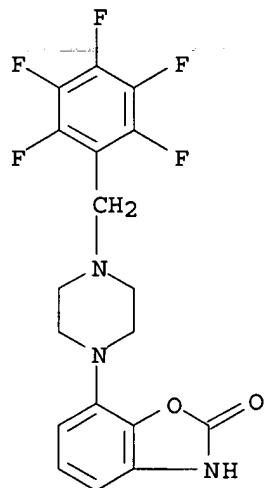
RN 372110-22-0 CAPLUS

CN 2 (3H)-Benzoxazolone, 7-[4-[(2-bromophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



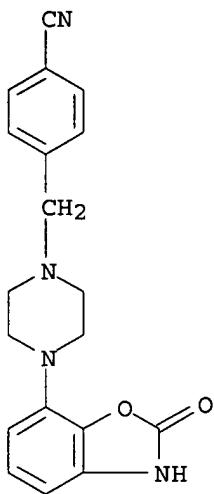
RN 372110-23-1 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(pentafluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



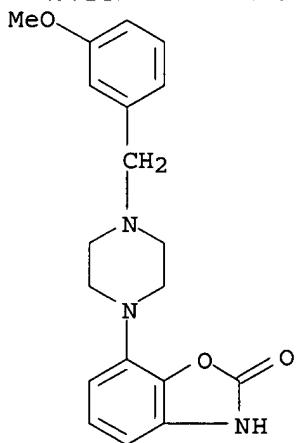
RN 372110-24-2 CAPLUS

CN Benzonitrile, 4-[[4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



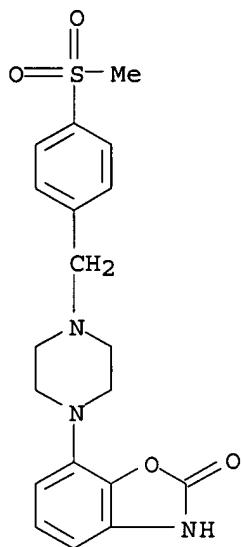
RN 372110-25-3 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [(3-methoxyphenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



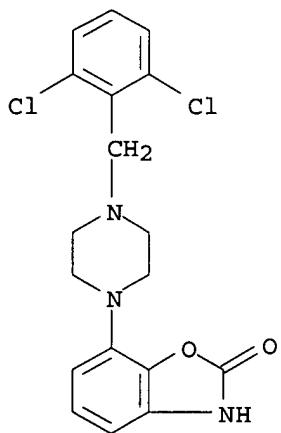
RN 372110-26-4 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [[4-(methylsulfonyl)phenyl]methyl]-1-
piperazinyl]- (9CI) (CA INDEX NAME)



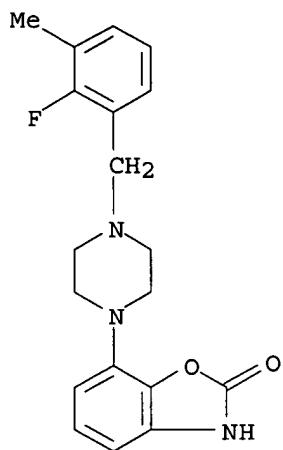
RN 372110-27-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2,6-dichlorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



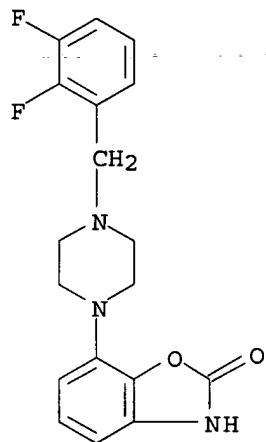
RN 372110-28-6 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2-fluoro-3-methylphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



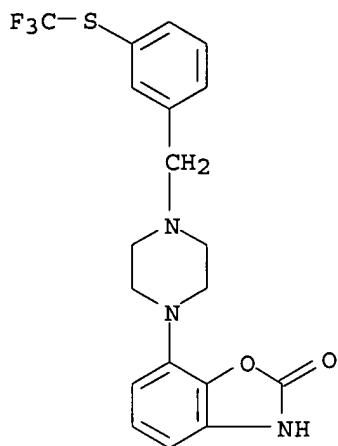
RN 372110-29-7 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2,3-difluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



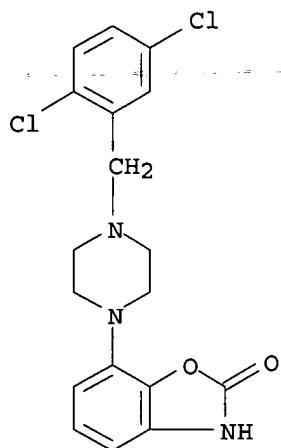
RN 372110-30-0 CAPLUS

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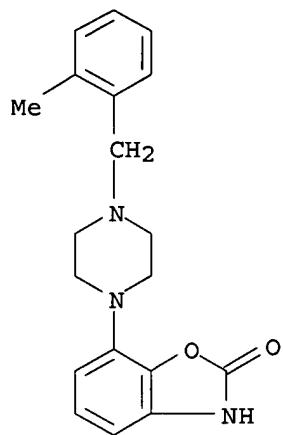
RN 372110-31-1 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2,5-dichlorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



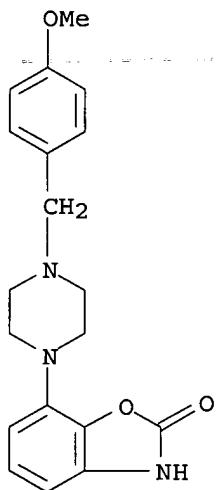
RN 372110-32-2 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2-methylphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



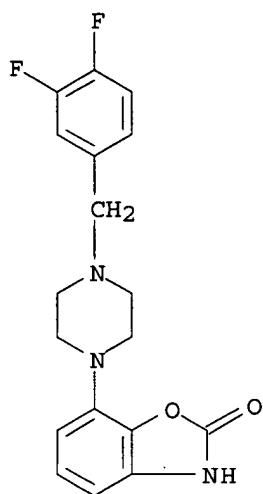
RN 372110-33-3 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [(4-methoxyphenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



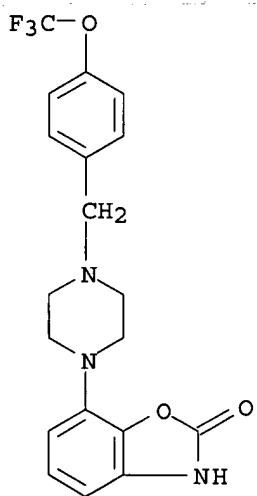
RN 372110-34-4 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [(3,4-difluorophenyl)methyl]-1-piperazinyl]-
(9CI) (CA INDEX NAME)



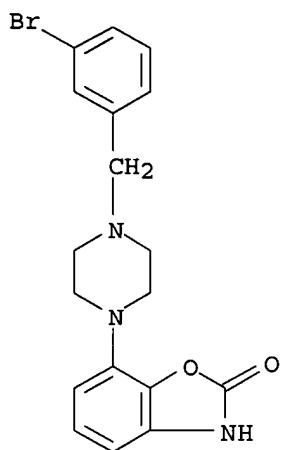
RN 372110-35-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(4-(trifluoromethoxy)phenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



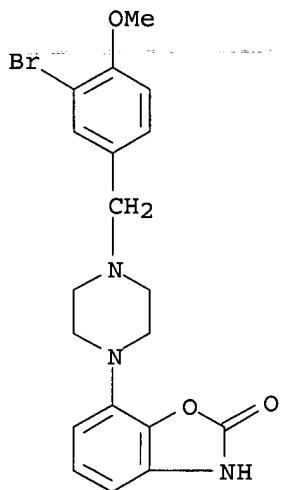
RN 372110-36-6 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3-bromophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



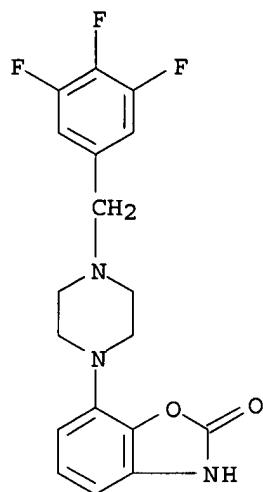
RN 372110-37-7 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3-bromo-4-methoxyphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



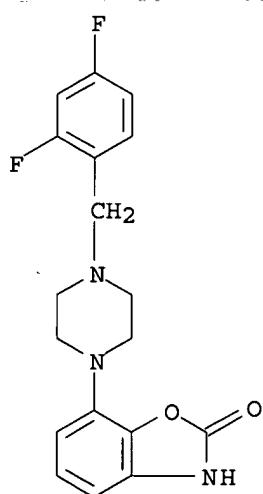
RN 372110-38-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3,4,5-trifluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



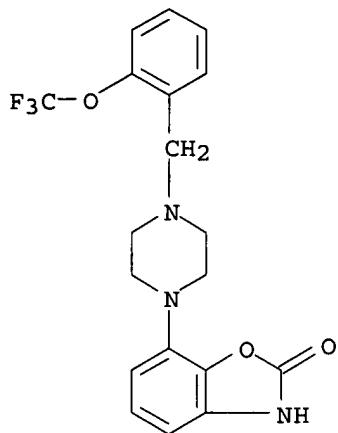
RN 372110-39-9 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2,4-difluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



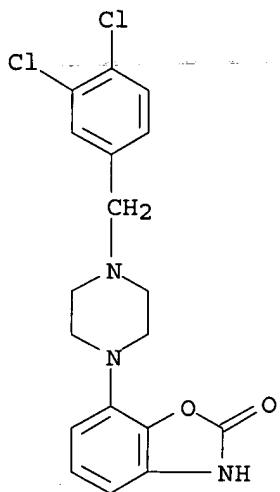
RN 372110-40-2 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2-(trifluoromethoxy)phenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



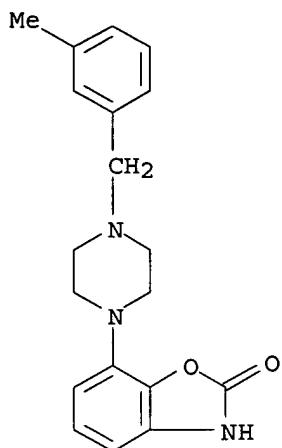
RN 372110-41-3 CAPLUS

CN 2 (3H)-Benzoxazolone, 7-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



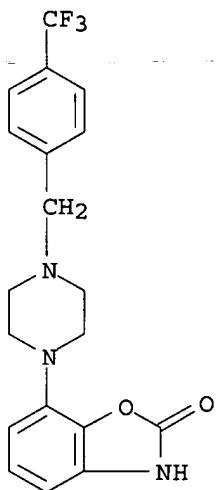
RN 372110-42-4 CAPLUS

CN 2 (3H)-Benzoxazolone, 7-[4-[(3-methylphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



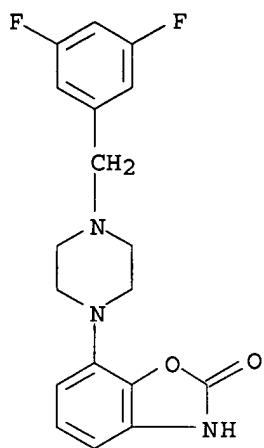
RN 372110-43-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[[4-(trifluoromethyl)phenyl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



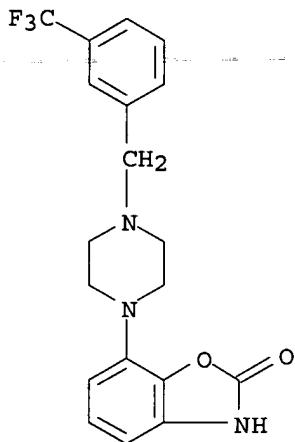
RN 372110-44-6 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3,5-difluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



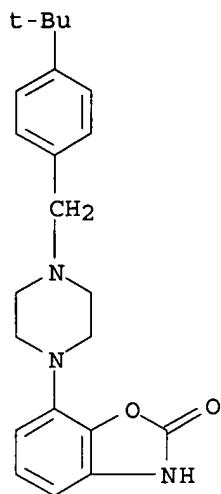
RN 372110-45-7 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[[3-(trifluoromethyl)phenyl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



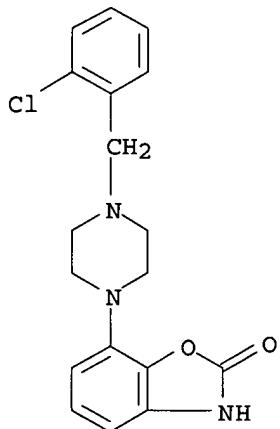
RN 372110-46-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[[4-(1,1-dimethylethyl)phenyl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



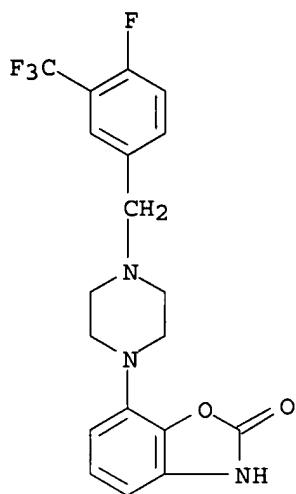
RN 372110-47-9 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2-chlorophenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



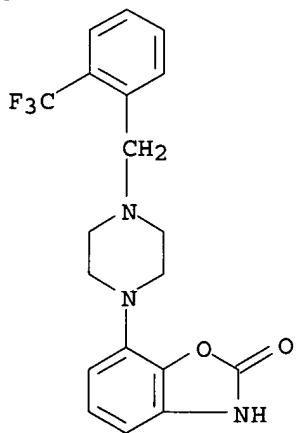
RN 372110-48-0 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



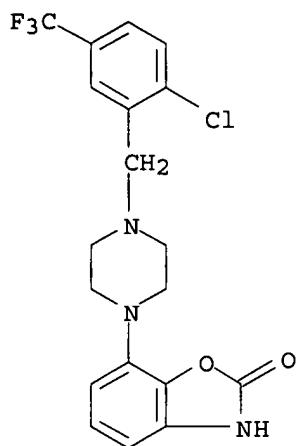
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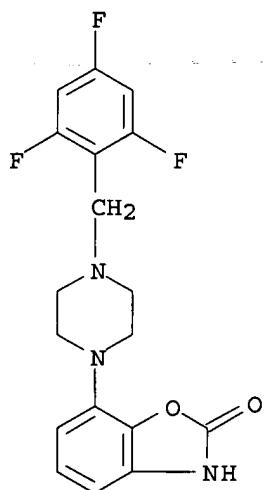
RN 372110-50-4 CAPLUS

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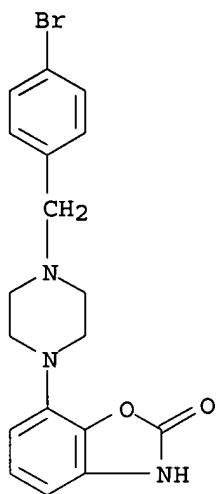
RN 372110-51-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2,4,6-trifluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



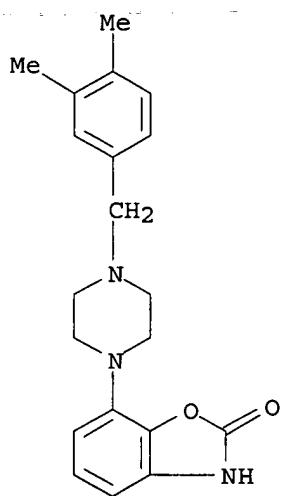
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CN 2(3H)-Benzoxazolone, 7-[4-[(4-bromophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



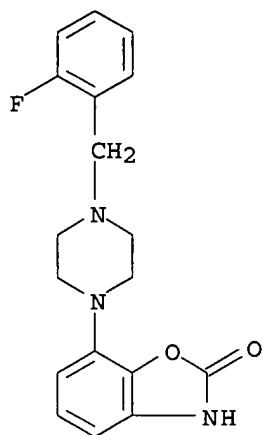
RN 372110-53-7 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-[(3,4-dimethylphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



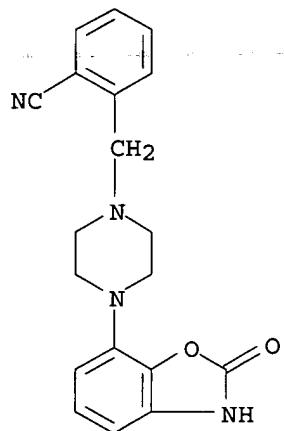
RN 372110-54-8 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-[(2-fluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



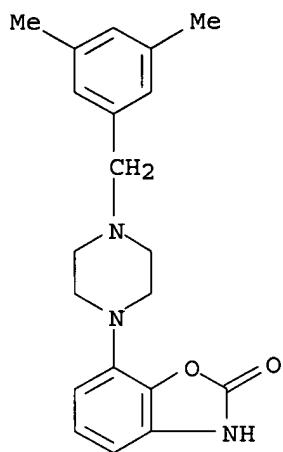
RN 372110-55-9 CAPLUS

CN Benzonitrile, 2-[(4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



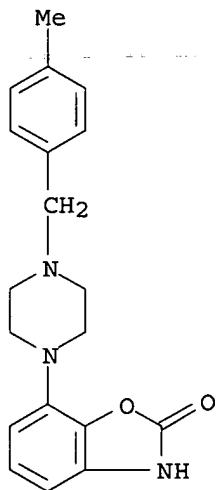
RN 372110-56-0 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[(4-[(3,5-dimethylphenyl)methyl]-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



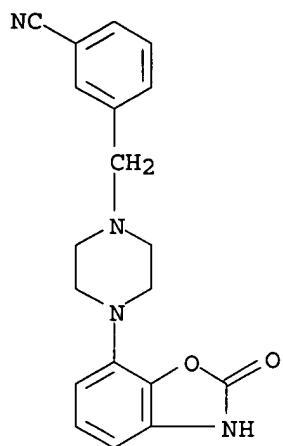
RN 372110-57-1 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(4-methylphenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



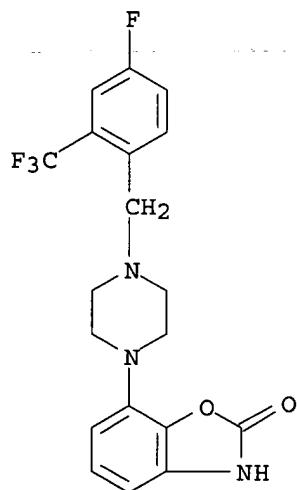
RN 372110-58-2 CAPLUS

CN Benzonitrile, 3-[[4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



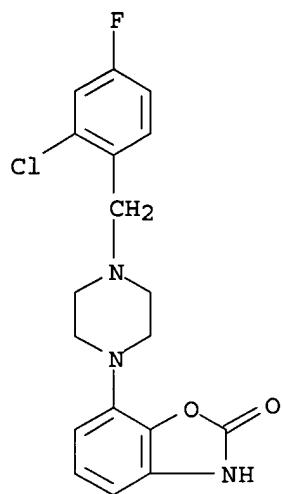
RN 372110-59-3 CAPLUS

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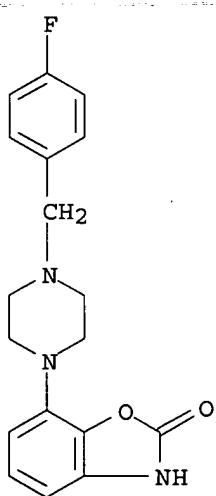
RN 372110-60-6 CAPLUS

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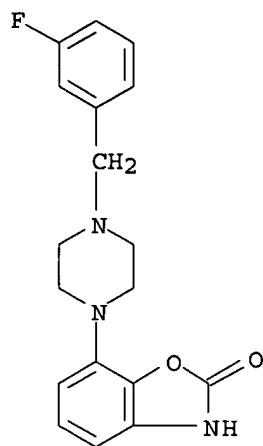
RN 372110-61-7 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



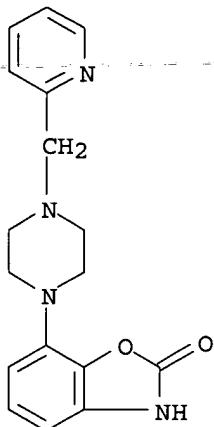
RN 372110-62-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3-fluorophenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



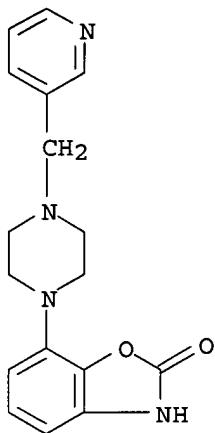
RN 372110-63-9 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-(2-pyridinylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



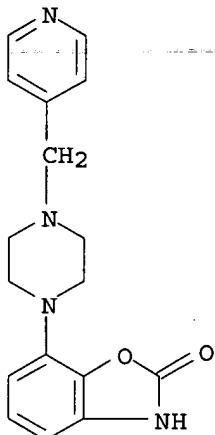
RN 372110-64-0 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-(3-pyridinylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



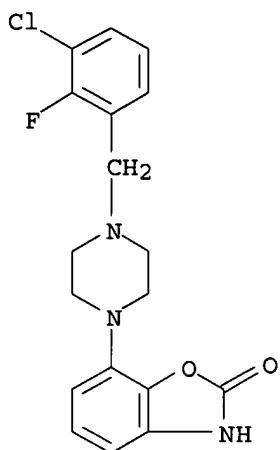
RN 372110-65-1 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4 - (4-pyridinylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 372111-25-6 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4 - [(3-chloro-2-fluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



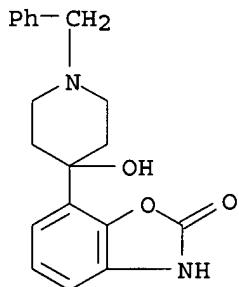
IT 372110-70-8P 372110-73-1P 372110-74-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of piperazine and piperidine compds. and their (partial) agonism towards the members of the dopamine D2-receptor subfamily and affinity for relevant serotonin and/or noradrenergic receptors)

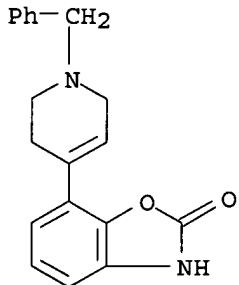
RN 372110-70-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-hydroxy-1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 372110-73-1 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]- (9CI) (CA INDEX NAME)

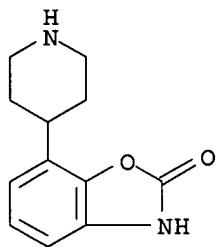


RN 372110-74-2 CAPLUS

10/06/2003

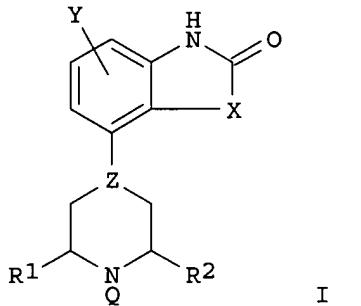
09831149.trn

CN 2 (3H) -Benzoxazolone, 7- (4-piperidinyl) - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
GI



AB The invention discloses the use of compds. having combined dopamine D2 agonist activity, 5-HT1A agonist activity and .alpha.-adrenoceptor agonist activity for the treatment of CNS disorders, e.g. Parkinson's disease. Compds. of the invention include I [X = O, S, SO, SO2; Y = H, halo, C1-3 alkyl, etc.; --Z = -C, =C, -N; R1, R2 = H, C1-3 alkyl; Q = (substituted) benzyl, (substituted) 2-, 3- or 4-pyridylmethyl]. Prepn. of selected I is described.

ACCESSION NUMBER: 2001:833089 CAPLUS
 DOCUMENT NUMBER: 135:352823
 TITLE: Use of compounds having combined dopamine D2, 5-HT1A and .alpha.-adrenoreceptor agonist action for treating central nervous system disorders
 INVENTOR(S): Van Der Heijden, Johannes A. M.; Long, Stephen K.; Feenstra, Roelof W.; Van Scharrenburg, Gustaaf J. M.
 PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.
 SOURCE: PCT Int. Appl., 15 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2001085168 | A1 | 20011115 | WO 2001-EP5319 | 20010510 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1153606 | A1 | 20011114 | EP 2000-201704 | 20000512 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| EP 1284731 | A1 | 20030226 | EP 2001-945108 | 20010510 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

| | | | | |
|------------------------|----|----------|----------------|------------|
| US 2003186838 | A1 | 20031002 | US 2002-275813 | 20021112 |
| PRIORITY APPLN. INFO.: | | | EP 2000-201704 | A 20000512 |
| | | | WO 2001-EP5319 | W 20010510 |

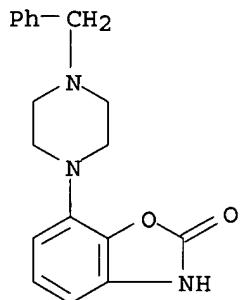
OTHER SOURCE(S): MARPAT 135:352823

IT 372110-21-9P 372110-22-0P 372110-23-1P
 372110-24-2P 372110-25-3P 372110-26-4P
 372110-27-5P 372110-28-6P 372110-29-7P
 372110-30-0P 372110-31-1P 372110-32-2P
 372110-33-3P 372110-34-4P 372110-35-5P
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 372110-42-4P 372110-43-5P 372110-44-6P
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 372110-54-8P 372110-55-9P 372110-56-0P
 372110-57-1P 372110-58-2P 372110-59-3P
 372110-60-6P 372110-61-7P 372110-62-8P
 372110-63-9P 372110-64-0P 372110-65-1P
 372111-25-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (compds. with combined dopamine D2, 5-HT1A and .alpha.-adrenoreceptor agonist action for treating central nervous system disorders)

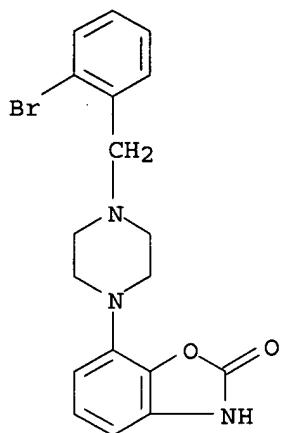
RN 372110-21-9 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



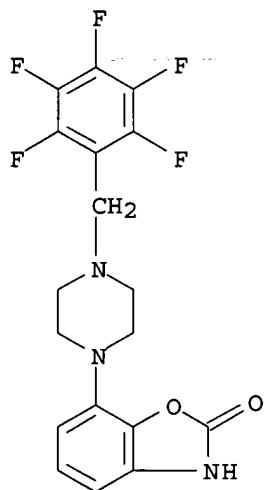
RN 372110-22-0 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2-bromophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



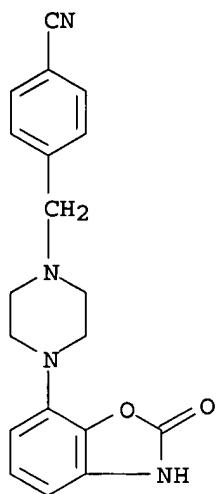
RN 372110-23-1 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(pentafluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



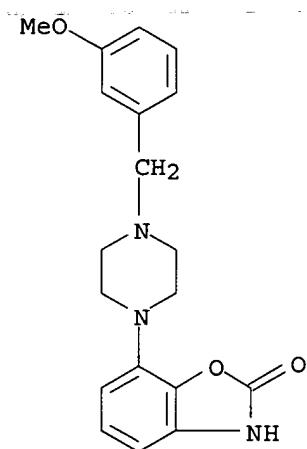
RN 372110-24-2 CAPLUS

CN Benzonitrile, 4-[[4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



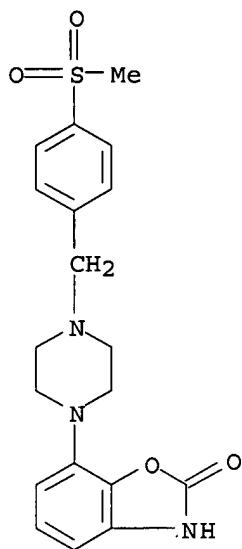
RN 372110-25-3 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3-methoxyphenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



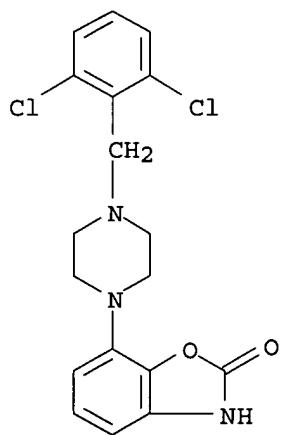
RN 372110-26-4 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[[4-(methylsulfonyl)phenyl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



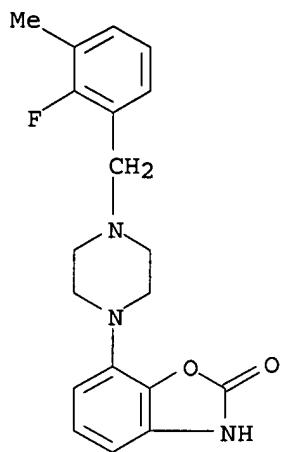
RN 372110-27-5 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [(2,6-dichlorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



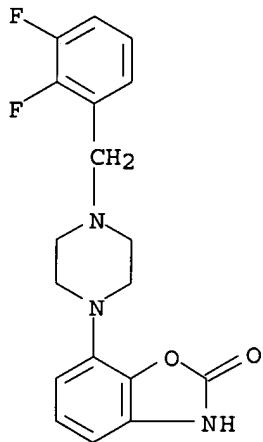
RN 372110-28-6 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [(2-fluoro-3-methylphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



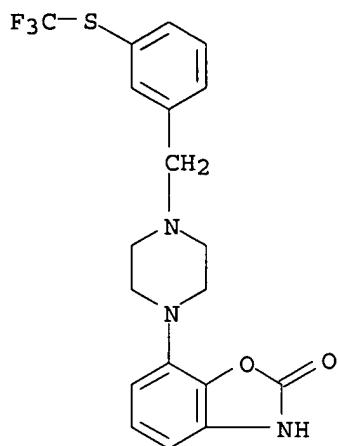
RN 372110-29-7 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2,3-difluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



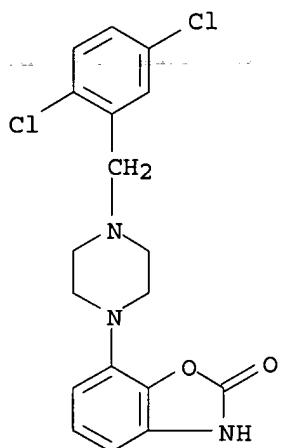
RN 372110-30-0 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[[3-[(trifluoromethyl)thio]phenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



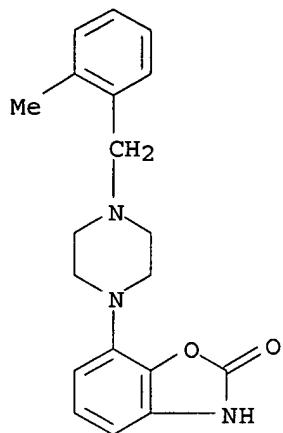
RN 372110-31-1 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2,5-dichlorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



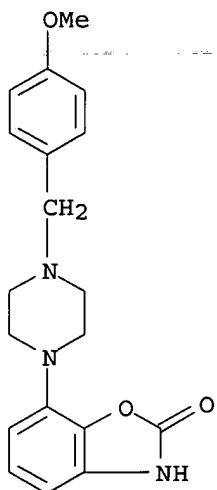
RN 372110-32-2 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2-methylphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



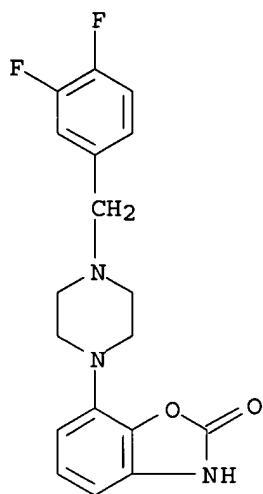
RN 372110-33-3 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [(4-methoxyphenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



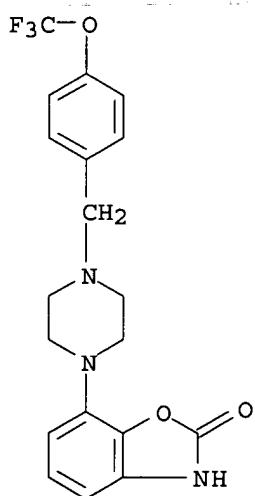
RN 372110-34-4 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [(3,4-difluorophenyl)methyl]-1-piperazinyl]-
(9CI) (CA INDEX NAME)



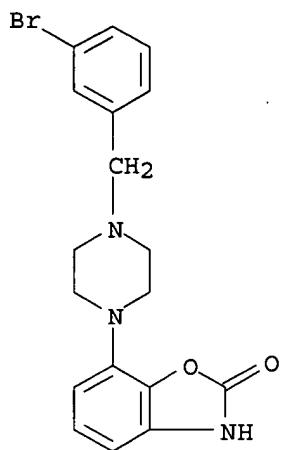
RN 372110-35-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(4-(trifluoromethoxy)phenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



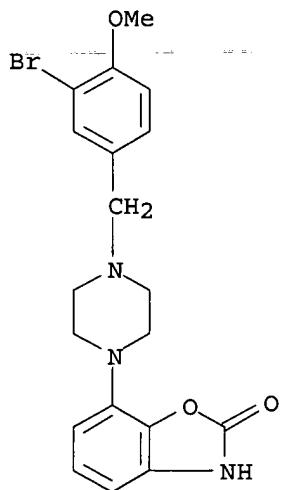
RN 372110-36-6 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3-bromophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



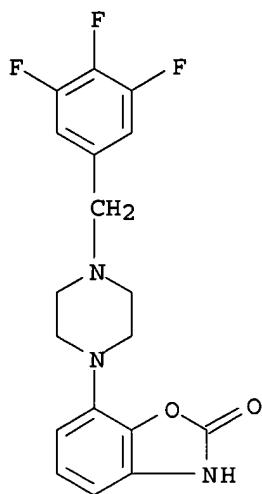
RN 372110-37-7 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3-bromo-4-methoxyphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

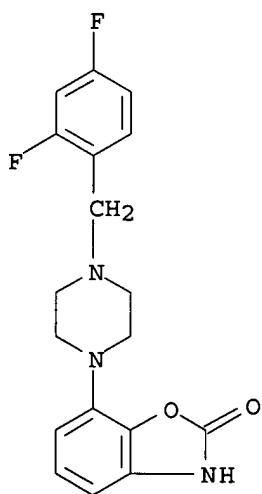


RN 372110-38-8 CAPLUS

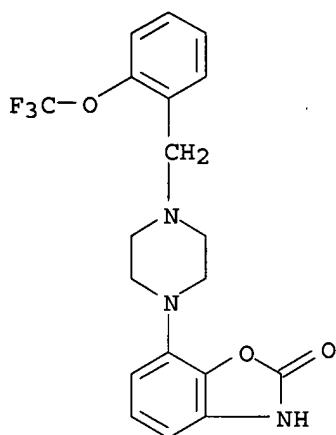
CN 2(3H)-Benzoxazolone, 7-[4-[(3,4,5-trifluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 372110-39-9 CAPLUS
CN 2(3H)-Benzoxazolone, 7-[4-[(2,4-difluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

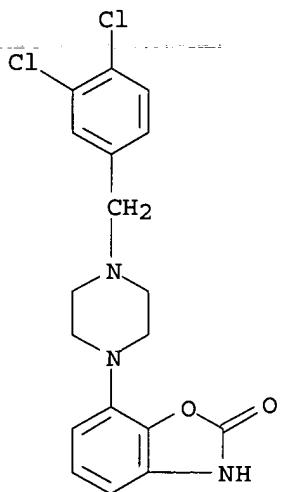


RN 372110-40-2 CAPLUS
CN 2(3H)-Benzoxazolone, 7-[4-[(2-(trifluoromethoxy)phenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



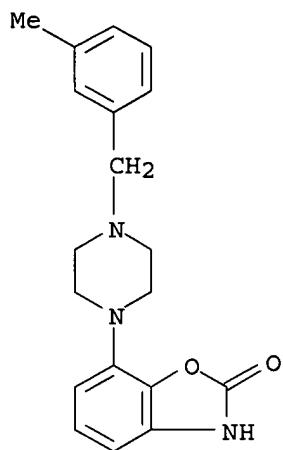
RN 372110-41-3 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



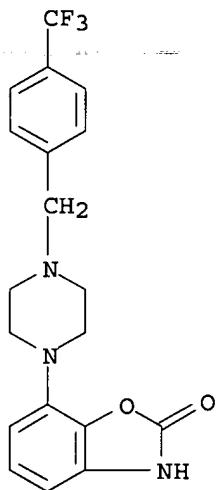
RN 372110-42-4 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3-methylphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



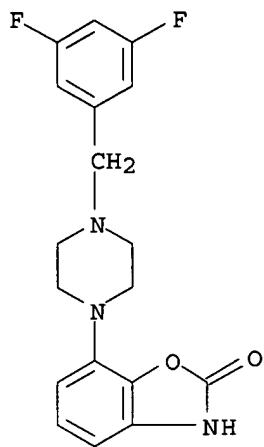
RN 372110-43-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(4-(trifluoromethyl)phenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



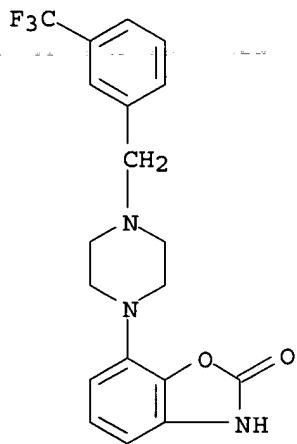
RN 372110-44-6 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3,5-difluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



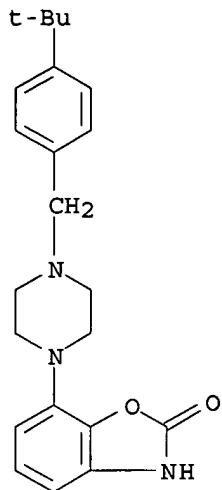
RN 372110-45-7 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[[3-(trifluoromethyl)phenyl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



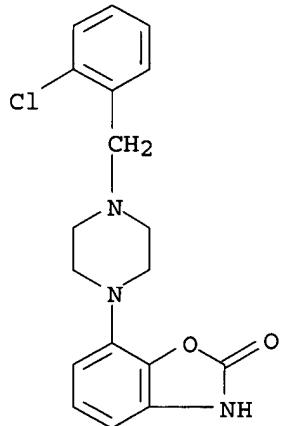
RN 372110-46-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[[4-(1,1-dimethylethyl)phenyl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



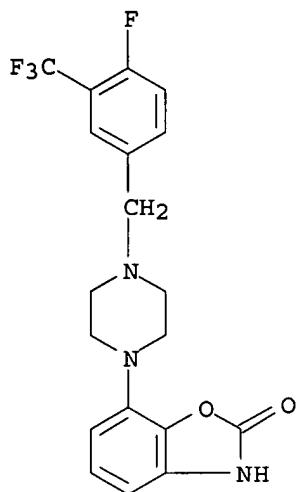
RN 372110-47-9 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2-chlorophenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



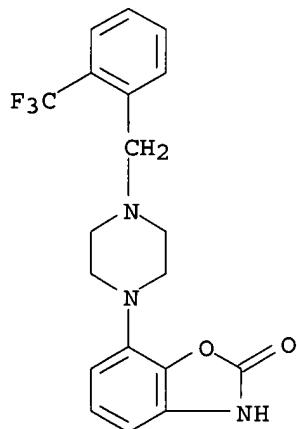
RN 372110-48-0 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(4-fluoro-3-(trifluoromethyl)phenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



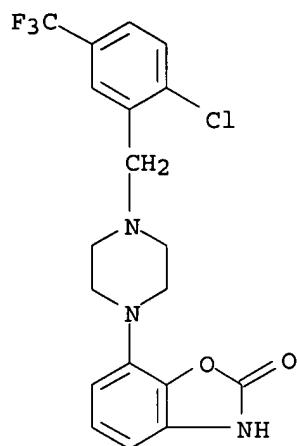
RN 372110-49-1 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[[2-(trifluoromethyl)phenyl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



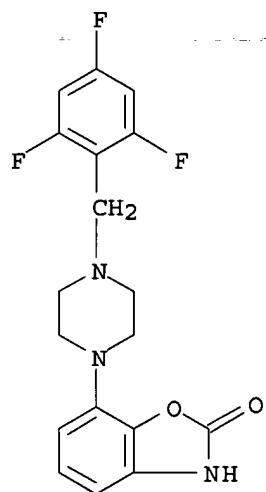
RN 372110-50-4 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[[2-chloro-5-(trifluoromethyl)phenyl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



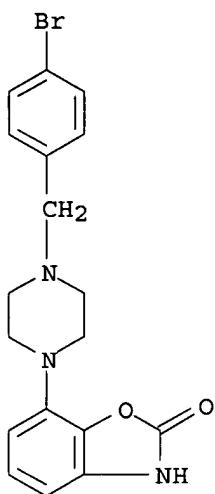
RN 372110-51-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2,4,6-trifluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



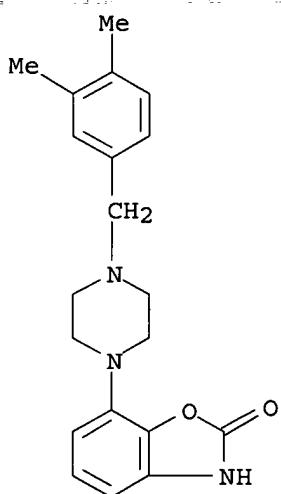
RN 372110-52-6 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(4-bromophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



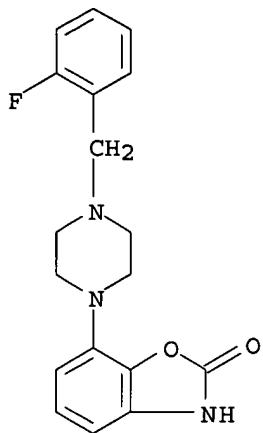
RN 372110-53-7 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [(3,4-dimethylphenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



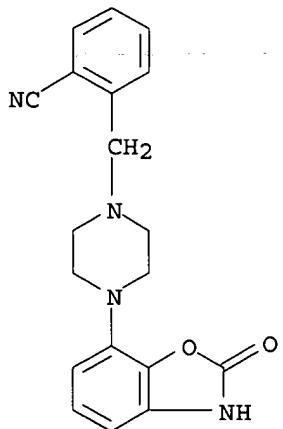
RN 372110-54-8 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [(2-fluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



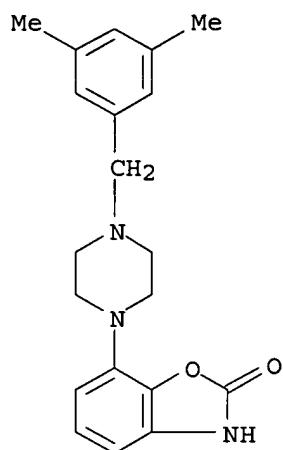
RN 372110-55-9 CAPLUS

CN Benzonitrile, 2-[(4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



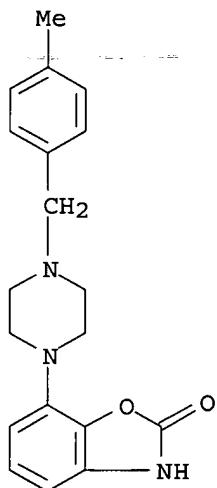
RN 372110-56-0 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[(4-(3,5-dimethylphenyl)methyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



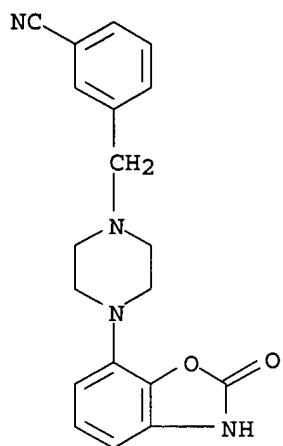
RN 372110-57-1 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(4-methylphenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



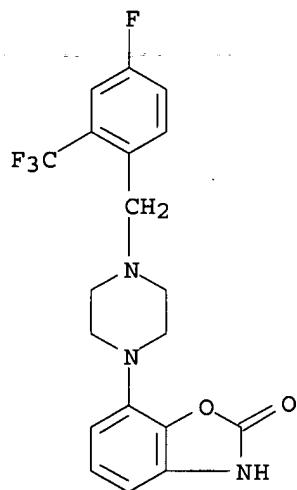
RN 372110-58-2 CAPLUS

CN Benzonitrile, 3-[(4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



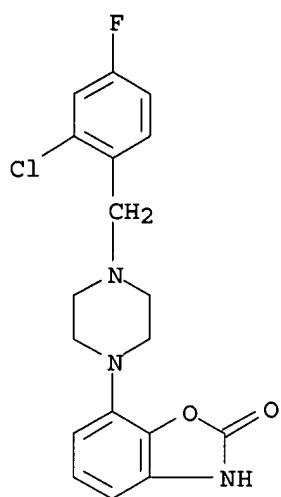
RN 372110-59-3 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [[4-fluoro-2- (trifluoromethyl)phenyl]methyl]-1- piperazinyl] - (9CI) (CA INDEX NAME)



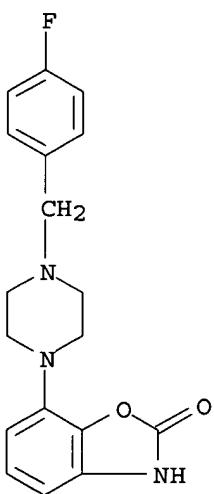
RN 372110-60-6 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [(2-chloro-4-fluorophenyl)methyl]-1-piperazinyl] - (9CI) (CA INDEX NAME)



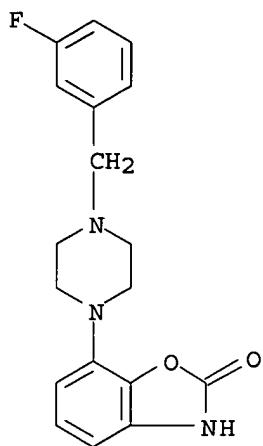
RN 372110-61-7 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



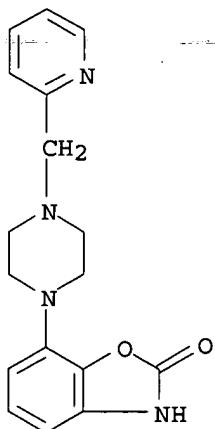
RN 372110-62-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3-fluorophenyl)methyl]-1-piperazinyl]- (9CI)
(CA INDEX NAME)



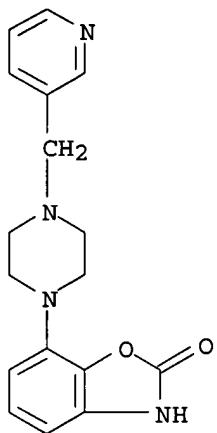
RN 372110-63-9 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4 - (2-pyridinylmethyl) -1-piperazinyl] - (9CI) (CA INDEX NAME)



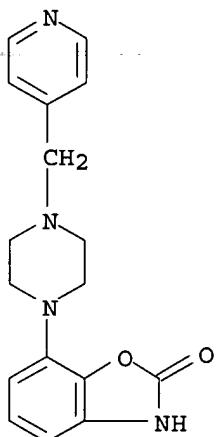
RN 372110-64-0 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4 - (3-pyridinylmethyl) -1-piperazinyl] - (9CI) (CA INDEX NAME)



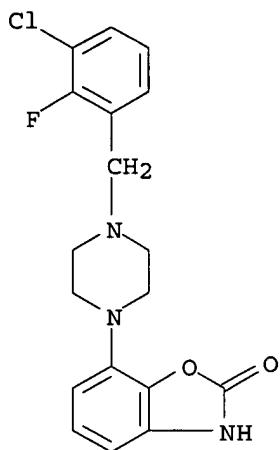
RN 372110-65-1 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-(4-pyridinylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 372111-25-6 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-[(3-chloro-2-fluorophenyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

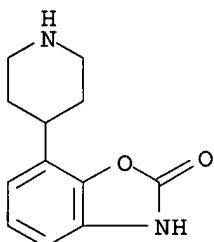


IT 372110-74-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (compds. with combined dopamine D2, 5-HT1A and .alpha.-adrenoreceptor
 agonist action for treating central nervous system disorders)

RN 372110-74-2 CAPLUS

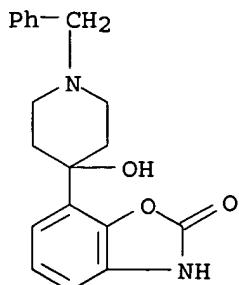
CN 2(3H)-Benzoxazolone, 7-(4-piperidinyl)- (9CI) (CA INDEX NAME)



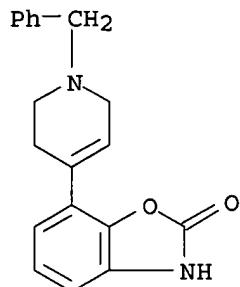
IT 372110-70-8P 372110-73-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prep. and reaction; compds. with combined dopamine D2, 5-HT1A and
 .alpha.-adrenoreceptor agonist action for treating central nervous
 system disorders)

RN 372110-70-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-hydroxy-1-(phenylmethyl)-4-piperidinyl]- (9CI)
 (CA INDEX NAME)

RN 372110-73-1 CAPLUS

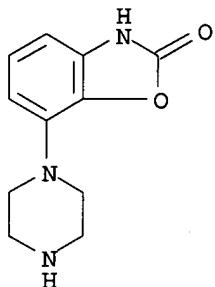
CN 2(3H)-Benzoxazolone, 7-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]-
(9CI) (CA INDEX NAME)

IT 105685-26-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction; compds. with combined dopamine D2, 5-HT1A and
 .alpha.-adrenoreceptor agonist action for treating central nervous
 system disorders)

RN 105685-26-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

7

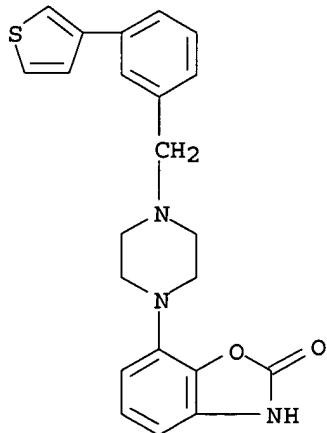
THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
 AB 1-Aryl-4-(biaryl)methylene)piperazines were prep'd. and their affinity for D2 and 5-HT1A receptors was detd.. A selection of these compds. was evaluated in vivo, resulting in the identification of a drug candidate which is being clin. evaluated as a potential atypical antipsychotic with reduced extrapyrimidal side effects.

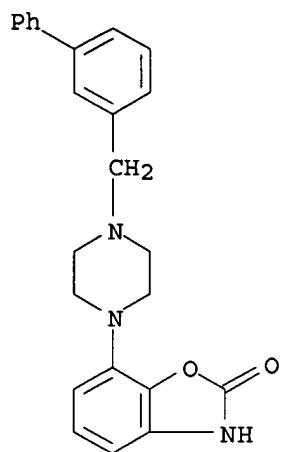
ACCESSION NUMBER: 2001:629000 CAPLUS
 DOCUMENT NUMBER: 135:357896
 TITLE: New 1-aryl-4-(biaryl)methylene)piperazines as potential atypical antipsychotics sharing dopamine D2-receptor and serotonin 5-HT1A-receptor affinities
 AUTHOR(S): Feenstra, R. W.; de Moes, J.; Hofma, J. J.; Kling, H.; Kuipers, W.; Long, S. K.; Tulp, M. T. M.; van der Heyden, J. A. M.; Kruse, C. G.
 CORPORATE SOURCE: Research Laboratories, Solvay Pharmaceuticals, Weesp, 1380 DA, Neth.
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(17), 2345-2349
 CODEN: BMCL8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

IT 197954-56-6P 350992-10-8P 373363-99-6P
 373364-03-5P 373364-04-6P 373364-05-7P
 373364-06-8P 373364-07-9P 373364-08-0P
 373364-09-1P 373364-10-4P 373364-11-5P
 373364-12-6P 373364-13-7P 373364-14-8P
 373364-15-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prep'n. of 1-aryl-4-(biaryl)methylene)piperazines as potential atypical antipsychotics sharing dopamine D2-receptor and serotonin 5-HT1A-receptor affinities)

RN 197954-56-6 CAPLUS
 CN 2(3H)-Benzoxazolone, 7-[4-[[3-(3-thienyl)phenyl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

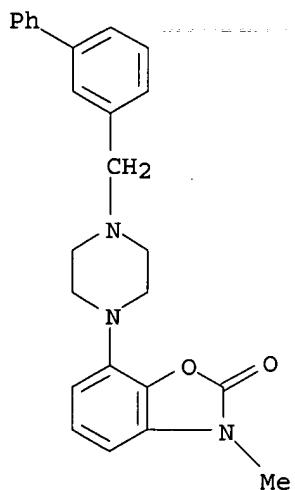


RN 350992-10-8 CAPLUS
 CN 2(3H)-Benzoxazolone, 7-[4-[[1,1'-biphenyl]-3-ylmethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



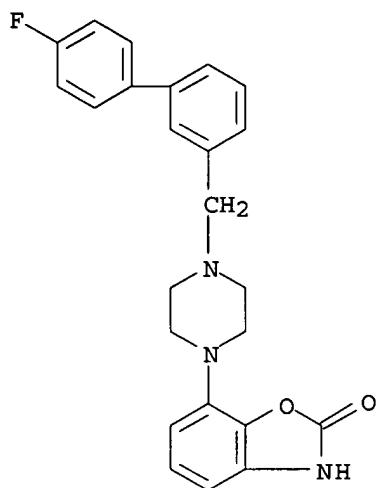
RN 373363-99-6 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-([1,1'-biphenyl]-3-ylmethyl)-1-piperazinyl]-3-methyl- (9CI) (CA INDEX NAME)



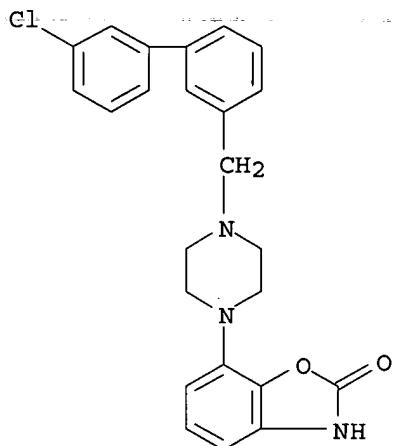
RN 373364-03-5 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-[(4'-fluoro[1,1'-biphenyl]-3-yl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



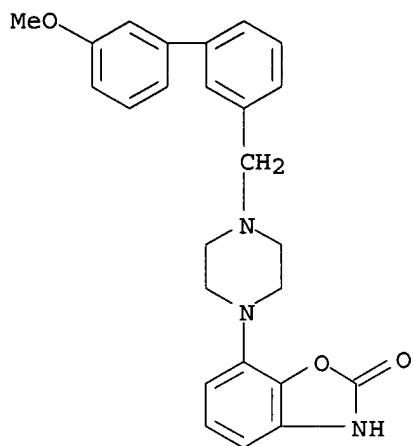
RN 373364-04-6 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3'-chlorobiphenyl)-3-yl]methyl]-1-piperazinyl- (9CI) (CA INDEX NAME)



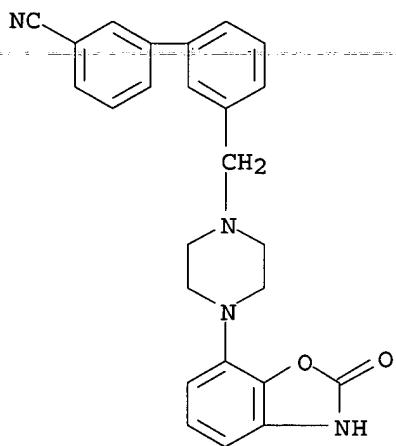
RN 373364-05-7 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3'-methoxybiphenyl)-3-yl]methyl]-1-piperazinyl- (9CI) (CA INDEX NAME)



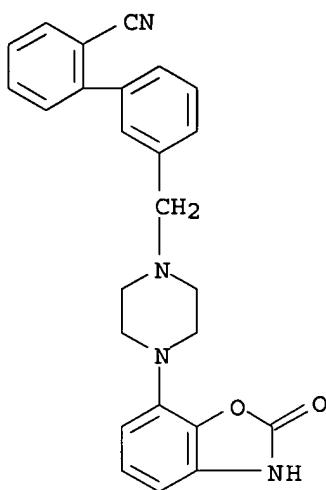
RN 373364-06-8 CAPLUS

CN [1,1'-Biphenyl]-3-carbonitrile, 3'-[[4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



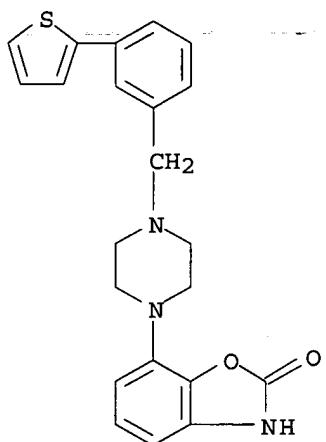
RN 373364-07-9 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 3'-[[4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



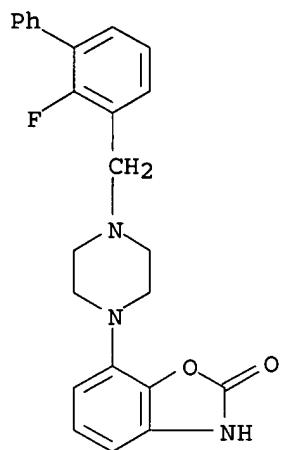
RN 373364-08-0 CAPLUS

CN 2 (3H)-Benzoxazolone, 7-[4-[[3-(2-thienyl)phenyl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



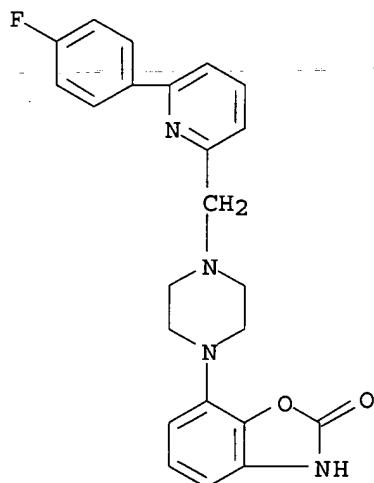
RN 373364-09-1 CAPLUS

CN 2 (3H)-Benzoxazolone, 7-[4-[(2-fluoro[1,1'-biphenyl]-3-yl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



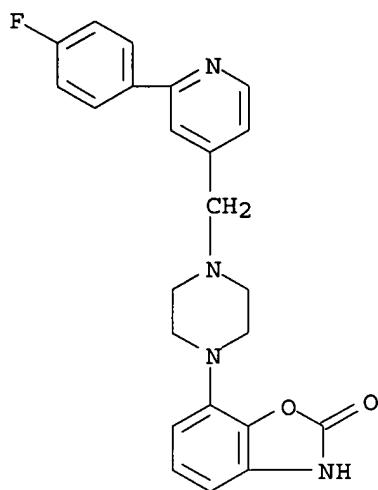
RN 373364-10-4 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [[6- (4-fluorophenyl) -2-pyridinyl]methyl] -1- piperazinyl] - (9CI) (CA INDEX NAME)



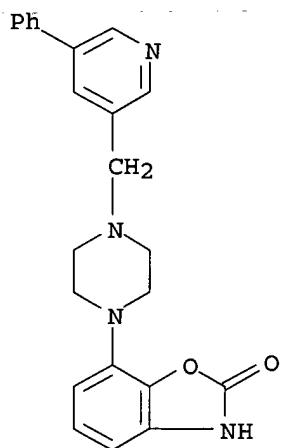
RN 373364-11-5 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [[2- (4-fluorophenyl) -4-pyridinyl]methyl] -1- piperazinyl] - (9CI) (CA INDEX NAME)



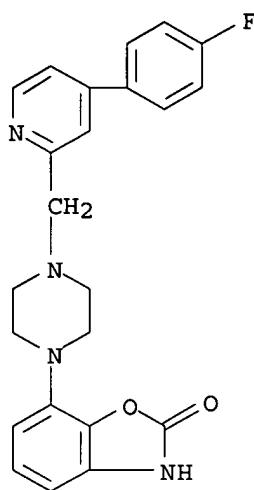
RN 373364-12-6 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-[(5-phenyl-3-pyridinyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



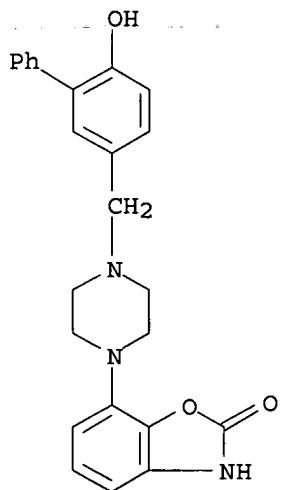
RN 373364-13-7 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-[(4-(4-fluorophenyl)-2-pyridinyl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



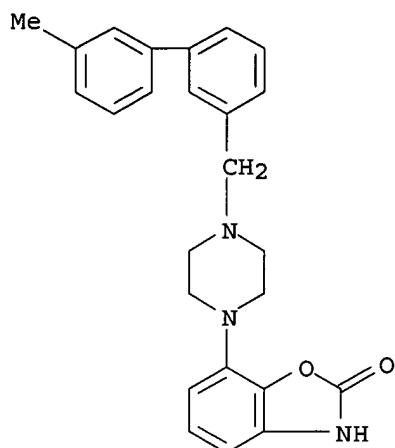
RN 373364-14-8 CAPLUS

CN 2 (3H)-Benzoxazolone, 7-[4-[(6-hydroxy[1,1'-biphenyl]-3-yl)methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 373364-15-9 CAPLUS

CN 2 (3H) -Benzoxazolone, 7 -[4 - [(3 ' -methyl[1,1 ' -biphenyl] -3 -yl)methyl] -1 -piperazinyl] - (9CI) (CA INDEX NAME)

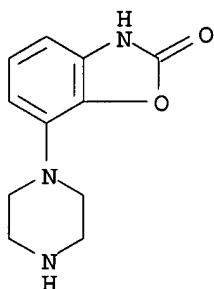


IT 105685-26-5 221194-56-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prep. of 1-aryl-4-(biaryl methylene)piperazines as potential atypical
 antipsychotics sharing dopamine D2-receptor and serotonin
 5-HT1A-receptor affinities)

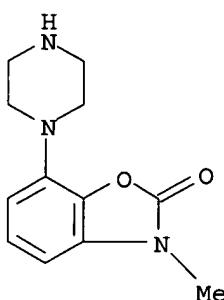
RN 105685-26-5 CAPLUS

CN 2 (3H)-Benzoxazolone, 7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 221194-56-5 CAPLUS

CN 2 (3H)-Benzoxazolone, 3-methyl-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

19

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

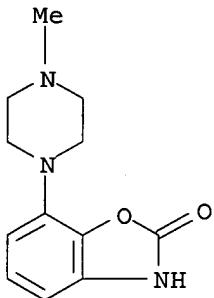
10/06/2003

09831149.trn

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
 AB A review with 5 refs. discusses the synthesis, pharmacol. actions, pharmacokinetics and metab., toxicol., and clin. studies of SLV308, as a compd. showing extremely potent partial dopamine D2 receptor agonism in combination with weaker full 5-HT1A agonism, ultimately providing an antiparkinsonian, antidepressant, and anxiolytic profile.

ACCESSION NUMBER: 2001:349938 CAPLUS
 DOCUMENT NUMBER: 135:266440
 TITLE: SLV308
 AUTHOR(S): Feenstra, R.; Ronken, E.; Koopman, T.; de Vries, M.; McCreary, A.; Stoker, M.; van Charldorp, K.; Long, S.; van Scharrenburg, G.
 CORPORATE SOURCE: Solvay Pharmaceuticals, Weesp, 1380 DA, Neth.
 SOURCE: Drugs of the Future (2001), 26(2), 128-132
 CODEN: DRFUD4; ISSN: 0377-8282
 PUBLISHER: Prous Science
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English
 IT 269718-83-4
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (synthesis and pharmacol. of dopamine D2 and 5-HT1A receptor agonist SLV308)

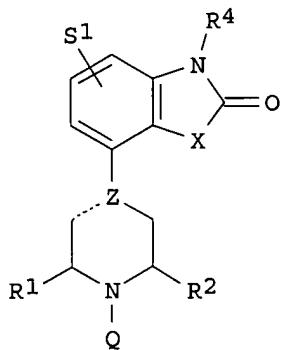
RN 269718-83-4 CAPLUS
 CN 2(3H)-Benzoxazolone, 7-(4-methyl-1-piperazinyl)-, monohydrochloride (9CI)
 (CA INDEX NAME)



● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
GI



AB The title compds. [I; S1 = H, halo, alkyl, etc.; X = NR3, S, CH2, etc. (wherein R3 = H, alkyl; ...Z = :C or N); R1, R2 = H, alkyl; R1 and R2 together can form a bridge of 2-3 carbon atoms; R4 = H, alkyl; Q = Me, Et, Et substituted with one or more fluorine atoms, etc.] and their salts which have both partial dopamine D2-receptor agonism and partial serotonin 5-HT1A-receptor agonism mediated activities (no data) and therefore are useful in treating anxiety and/or depression, were prepd. E.g., a 2-step synthesis of I [S1, R1, R2, R4 = H; X = O; ...Z = :C; Q = Me], starting with N-Boc-3-fluoroaniline and N-methylpiperidone, was given.

ACCESSION NUMBER: 2000:351516 CAPLUS

DOCUMENT NUMBER: 132:347590

TITLE: Preparation of new piperazine and piperidine compounds

INVENTOR(S): Toorop, Gerrit P.; Feenstra, Roelof W.; Van Der Heijden, Johannes A. M.; Mos, Johannes; Long, Stephen K.; Visser, Gerben M.; Kruse, Cornelis G.; Van Scharrenburg, Gustaaf J. M.; Toorop, Anne G.

PATENT ASSIGNEE(S): Duphar International Research B.V., Neth.

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

Off print

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2000029397 | A1 | 20000525 | WO 1999-EP8702 | 19991110 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| BR 9915293 | A | 20010807 | BR 1999-15293 | 19991110 |
| EP 1131308 | A1 | 20010912 | EP 1999-955980 | 19991110 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

| | | | | |
|---------------|----|----------|----------------|----------|
| JP 2002530276 | T2 | 20020917 | JP 2000-582384 | 19991110 |
| AU 756470 | B2 | 20030116 | AU 2000-12709 | 19991110 |
| NZ 511584 | A | 20030131 | NZ 1999-511584 | 19991110 |
| NO 2001002339 | A | 20010511 | NO 2001-2339 | 20010511 |

PRIORITY APPLN. INFO.: EP 1998-203871 A 19981113
WO 1999-EP8702 W 19991110

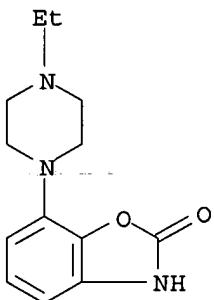
OTHER SOURCE(S): MARPAT 132:347590

IT 269718-81-2P 269718-82-3P 269718-83-4P
269718-84-5P 269718-85-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of new piperazine and piperidine compds.)

RN 269718-81-2 CAPLUS

CN 2(3H)-Benzoxazolone, 7-(4-ethyl-1-piperazinyl)-, monohydrochloride (9CI)
(CA INDEX NAME)

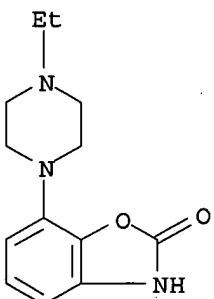


544/367
514/254.62

● HCl

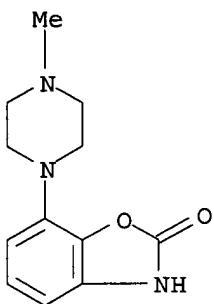
RN 269718-82-3 CAPLUS

CN 2(3H)-Benzoxazolone, 7-(4-ethyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 269718-83-4 CAPLUS

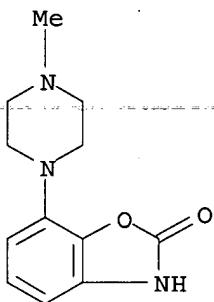
CN 2(3H)-Benzoxazolone, 7-(4-methyl-1-piperazinyl)-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

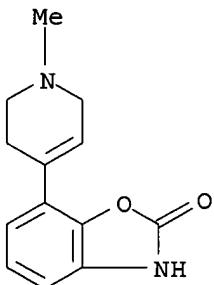
RN 269718-84-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 269718-85-6 CAPLUS

CN 2(3H)-Benzoxazolone, 7-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)



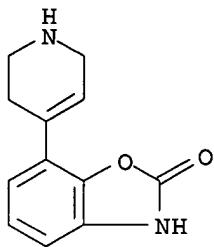
546 / 209
814 / 321

IT 269718-88-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of new piperazine and piperidine compds.)

RN 269718-88-9 CAPLUS

CN 2(3H)-Benzoxazolone, 7-(1,2,3,6-tetrahydro-4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



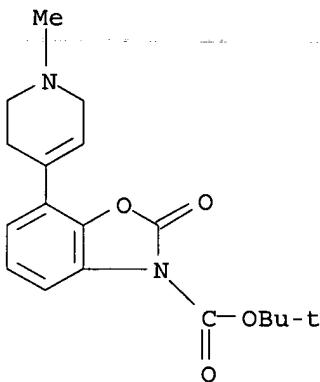
● HCl

IT 269718-86-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of new piperazine and piperidine compds.)

RN 269718-86-7 CAPLUS

CN 3(2H)-Benzoxazolecarboxylic acid, 2-oxo-7-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

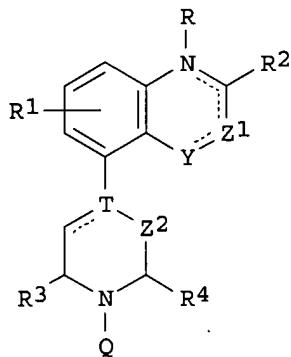


REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
GI



AB Title compds. [I; Q = $\text{CH}_2\text{CR}_5\text{R}_6\text{ZR}_7$; R, R3, R4 = H or alkyl; R1 = H or F; R2 = H, alkyl, oxo (sic); RR2 = bond; R5, R6 = H, alkyl, alkylphenyl; R7 = cyclic group (sic), (hetero)aryl, adamantlyl, etc.; T = N or C (sic); Y = C, O, N, or S (sic); Z = CH_2O , CH_2CO , NHCO , etc.; Z1 = $(\text{CR}'')\text{p}$; R'' = H or alkyl; Z2 = $(\text{CH}_2)\text{n}$; n = 1 or 2; p = 0-2; dashed lines = optional bond(s)] were prepd. Thus, 5-(1-piperazinyl)-1,2,3,4-tetrahydroquinoline was alkylated by $\text{Cl}(\text{CH}_2)\text{3COC}_6\text{H}_4\text{F}-4$ to give I [Q = $(\text{CH}_2)\text{3COC}_6\text{H}_4\text{F}-4$; R-R4 = H, T = N, Y = Z1 = Z2 = CH2, dashed lines = null]. Data for biol. activity of I were given.

ACCESSION NUMBER: 1999:176950 CAPLUS

DOCUMENT NUMBER: 130:223299

TITLE: Preparation of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists

INVENTOR(S): Feenstra, R. W.; Visser, G. M.; Kruse, C. G.; Tulp, M. T. M.; Long, S. K.

PATENT ASSIGNEE(S): Duphar International Research B.V, Neth.

SOURCE: Eur. Pat. Appl., 26 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

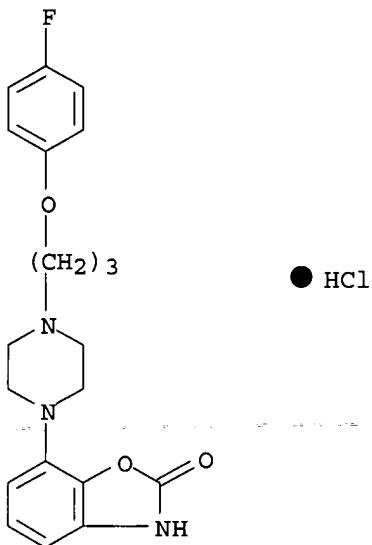
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--------------|-------------------|-----------------|------------|
| EP 900792 | A1 | 19990310 | EP 1998-202832 | 19980824 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CA 2246126 | AA | 19990302 | CA 1998-2246126 | 19980828 |
| JP 11147871 | A2 | 19990602 | JP 1998-259105 | 19980831 |
| US 6214829 | B1 | 20010410 | US 1998-144076 | 19980831 |
| PRIORITY APPLN. INFO.: | | | EP 1997-202704 | A 19970902 |
| OTHER SOURCE(S): | | MARPAT 130:223299 | | |
| IT 221193-59-5P | 221193-60-8P | 221193-61-9P | | |
| 221193-64-2P | 221193-65-3P | 221193-70-0P | | |
| 221193-72-2P | 221193-73-3P | 221193-75-5P | | |
| 221193-76-6P | 221193-78-8P | 221193-79-9P | | |
| 221193-84-6P | 221193-86-8P | 221193-87-9P | | |

221194-08-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-piperazinotetrahydroquinolines and analogs as 5-HT1 receptor agonists)

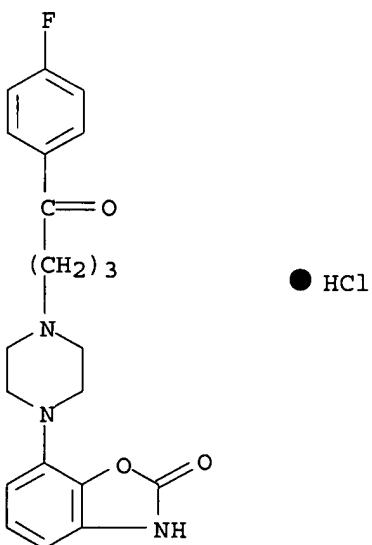
RN 221193-59-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[3-(4-fluorophenoxy)propyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



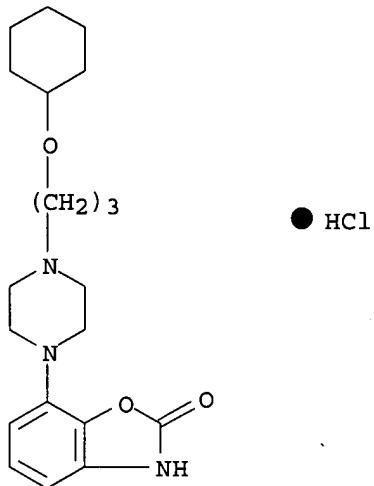
RN 221193-60-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[4-(4-fluorophenyl)-4-oxobutyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 221193-61-9 CAPLUS

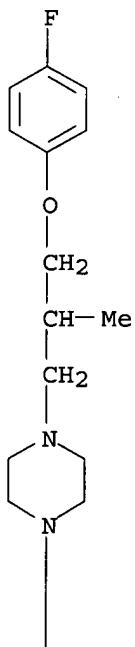
CN 2 (3H) -Benzoxazolone, 7-[4-[3-(cyclohexyloxy)propyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



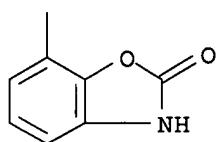
RN 221193-64-2 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-[4-[3-(4-fluorophenoxy)-2-methylpropyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

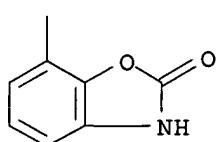
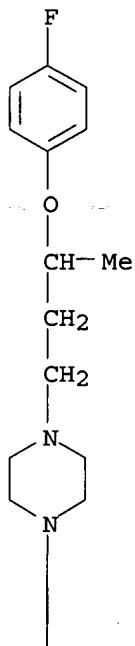


● HCl

RN 221193-65-3 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[3-(4-fluorophenoxy)butyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

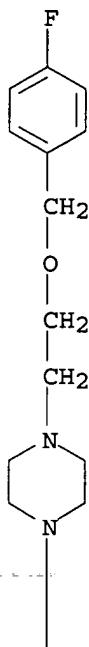


HCl

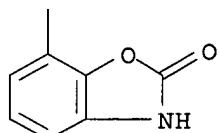
RN 221193-70-0 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- [4- [2- [(4-fluorophenyl)methoxy]ethyl] -1- piperazinyl] - (9CI) (CA INDEX NAME)

PAGE 1-A

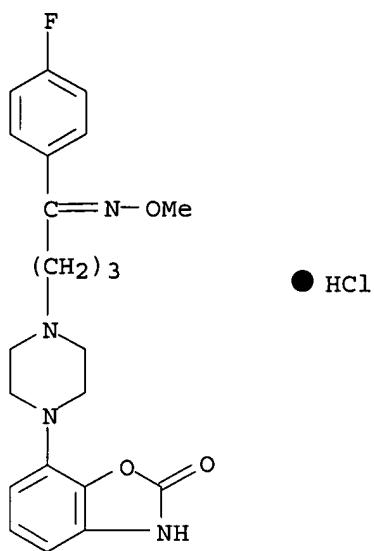


PAGE 2-A



RN 221193-72-2 CAPLUS

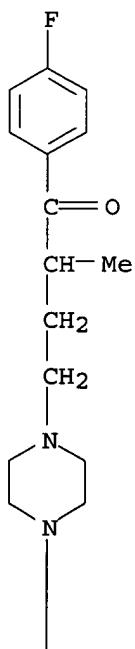
CN 2 (3H) -Benzoxazolone, 7- [4- [4- (4-fluorophenyl) -4- (methoxyimino)butyl] -1- piperazinyl] -, monohydrochloride (9CI) (CA INDEX NAME)



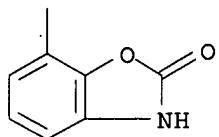
RN 221193-73-3 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[4-(4-fluorophenyl)-3-methyl-4-oxobutyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



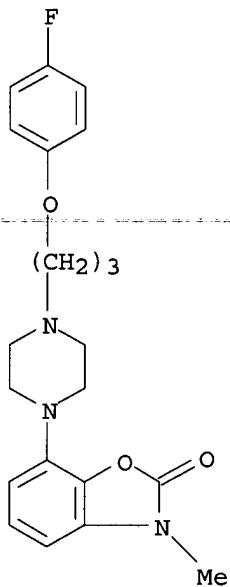
PAGE 2-A



● HCl

RN 221193-75-5 CAPLUS

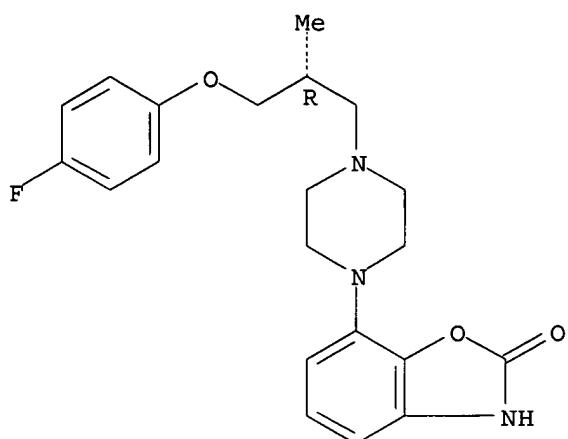
CN 2(3H)-Benzoxazolone, 7-[4-[3-(4-fluorophenoxy)propyl]-1-piperazinyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 221193-76-6 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2R)-3-(4-fluorophenoxy)-2-methylpropyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

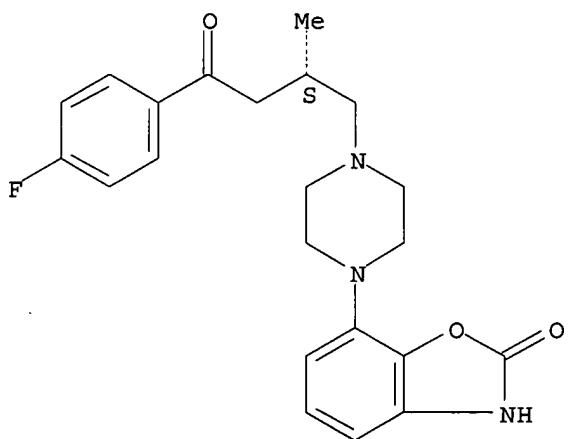


● HCl

RN 221193-78-8 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2S)-4-(4-fluorophenyl)-2-methyl-4-oxobutyl]piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

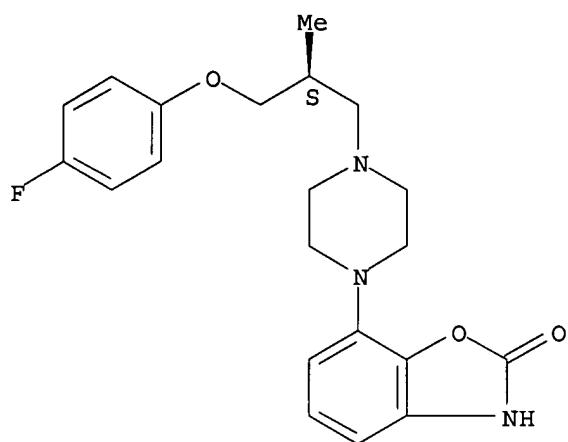


● HCl

RN 221193-79-9 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(2S)-3-(4-fluorophenoxy)-2-methylpropyl]piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

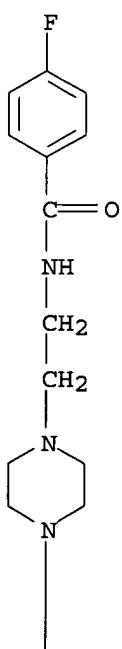


● HCl

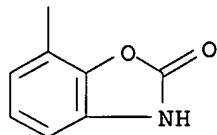
RN 221193-84-6 CAPLUS

CN Benzamide, N-[2-[4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl]ethyl]-4-fluoro- (9CI) (CA INDEX NAME)

PAGE 1-A

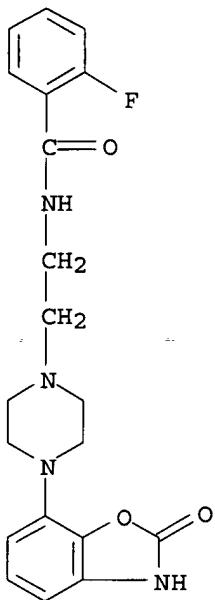


PAGE 2-A



RN 221193-86-8 CAPLUS

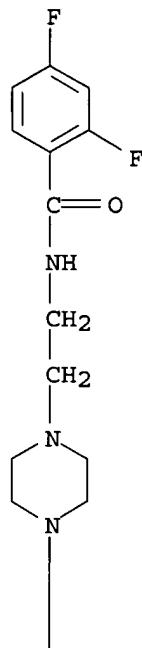
CN Benzamide, N-[2-[4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl]ethyl]-2-fluoro- (9CI) (CA INDEX NAME)



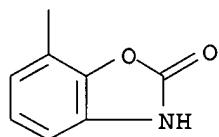
RN 221193-87-9 CAPLUS

CN Benzamide, N-[2-[4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl]ethyl]-2,4-difluoro- (9CI) (CA INDEX NAME)

PAGE 1-A



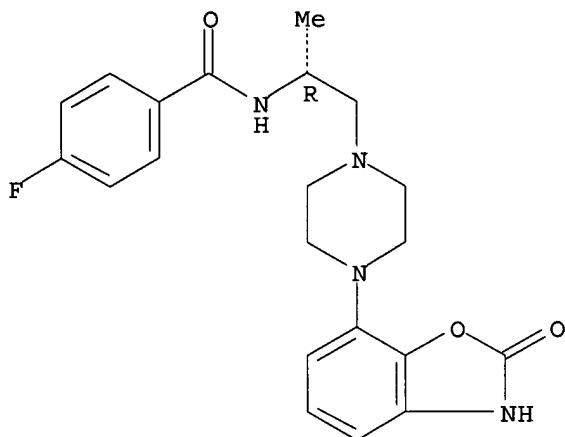
PAGE 2-A



RN 221194-08-7 CAPLUS

CN Benzamide, N-[(1R)-2-[4-(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl]-1-methylethyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



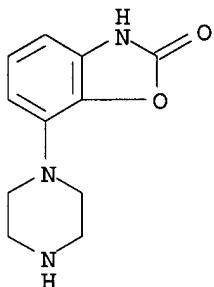
IT 105685-26-5 221194-56-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(prep. of 5-piperazinotetrahydroquinolines and analogs as 5-HT1
receptor agonists)

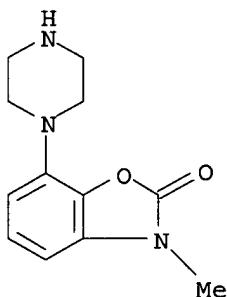
RN 105685-26-5 CAPLUS

CN 2 (3H) -Benzoxazolone, 7- (1-piperazinyl) - (9CI) (CA INDEX NAME)



RN 221194-56-5 CAPLUS

CN 2 (3H) -Benzoxazolone, 3-methyl-7- (1-piperazinyl) - (9CI) (CA INDEX NAME)

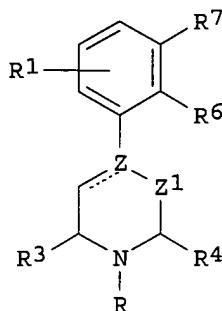


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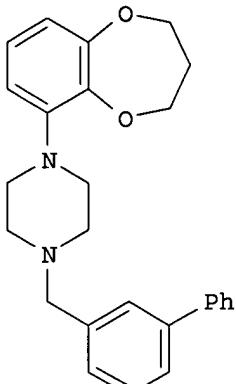
13

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I



II

AB Title compds. [I; R = $\text{CH}_2\text{Z}_2\text{R}_5$; R1 = H or F; R3, R4 = H or alkyl; R5 = (un)substituted Ph, -furyl, -thienyl; R6R7 = atoms to complete a (un)substituted heterocyclic ring; Z = C or N; Z1 = CH_2 or CH_2CH_2 ; Z2 = 1,3-phenylene; dashed line = bond when Z = C and = null when Z = N] were prepd. Thus, 1-(3,4-dihydrobenzodioxepin-6-yl)piperazine was condensed with 3-bromomethylbiphenyl to give title compd. II. Data for biol. activity of I were given.

ACCESSION NUMBER: 1997:679080 CAPLUS

DOCUMENT NUMBER: 127:331506

TITLE: Preparation of 1-biphenylylmethyl-4-heterarylpirazines and analogs as nervous system agents

INVENTOR(S): Feenstra, Roelof Willem; Kruse, Cornelis Gerrit; Tulp, Martinus Theodorus Maria; Kuipers, Wilma; Long, Stephen Kenneth; et al.

PATENT ASSIGNEE(S): Duphar International Research B.V., Neth.; Feenstra, Roelof Willem; Kruse, Cornelis Gerrit; Tulp, Martinus Theodorus Maria; Kuipers, Wilma; Long, Stephen Kenneth

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|-----------------|----------|
| WO 9736893 | A1 | 19971009 | WO 1997-EP1461 | 19970320 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |

| | | | |
|--|-------------|------------------|----------|
| CA 2250347 | AA 19971009 | CA 1997-2250347 | 19970320 |
| AU 9720294 | A1 19971022 | AU 1997-20294 | 19970320 |
| AU 708053 | B2 19990729 | | |
| EP 889889 | A1 19990113 | EP 1997-908288 | 19970320 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | |
| CN 1215400 | A 19990428 | CN 1997-193520 | 19970320 |
| CN 1100055 | B 20030129 | | |
| BR 9708389 | A 20000104 | BR 1997-8389 | 19970320 |
| NZ 331860 | A 20000428 | NZ 1997-331860 | 19970320 |
| JP 2000507949 | T2 20000627 | JP 1997-534886 | 19970320 |
| RU 2178414 | C2 20020120 | RU 1998-119523 | 19970320 |
| ZA 9702639 | A 19971002 | ZA 1997-2639 | 19970326 |
| TW 422846 | B 20010221 | TW 1997-86104056 | 19970328 |
| NO 9804533 | A 19981102 | NO 1998-4533 | 19980928 |
| KR 2000005412 | A 20000125 | KR 1998-708145 | 19980929 |
| US 6225312 | B1 20010501 | US 1999-155608 | 19990304 |

PRIORITY APPLN. INFO.: EP 1996-200864 A 19960329
WO 1997-EP1461 W 19970320

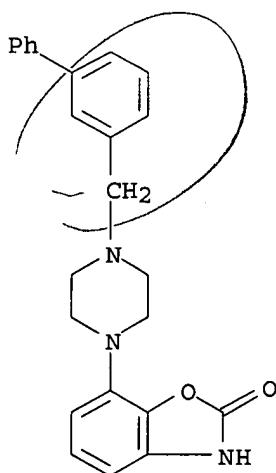
OTHER SOURCE(S): MARPAT 127:331506

IT 197954-51-1P 197954-55-5P 197954-56-6P
197954-57-7P 197954-66-8P 197954-67-9P
197954-68-0P 197954-69-1P 197954-70-4P
197954-71-5P 197954-72-6P 197954-77-1P
197954-85-1P 197955-12-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-biphenylmethyl-4-heteroarylpirazines and analogs as nervous system agents)

RN 197954-51-1 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-([1,1'-biphenyl]-3-ylmethyl)-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

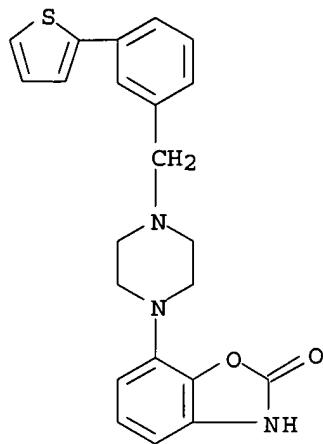


HCl

RN 197954-55-5 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3-(2-thienyl)phenyl)methyl]-1-piperazinyl]-,

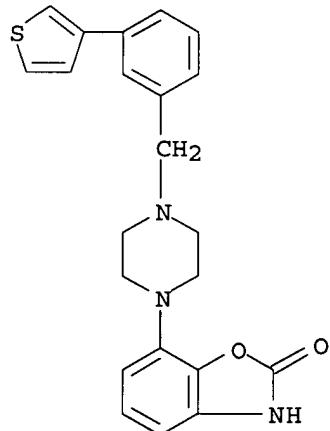
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

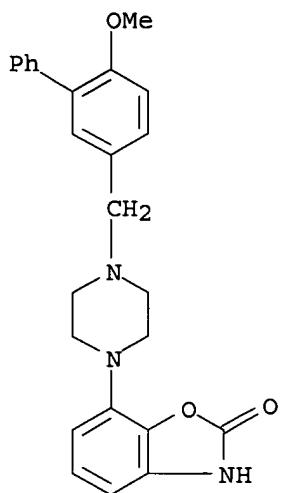
RN 197954-56-6 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3-thienyl)phenyl]methyl]-1-piperazinyl- (9CI) (CA INDEX NAME)



RN 197954-57-7 CAPLUS

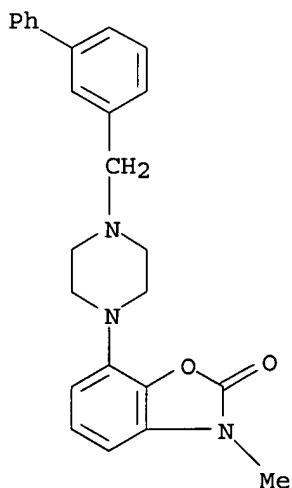
CN 2(3H)-Benzoxazolone, 7-[4-[(6-methoxy[1,1'-biphenyl]-3-yl)methyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 197954-66-8 CAPLUS

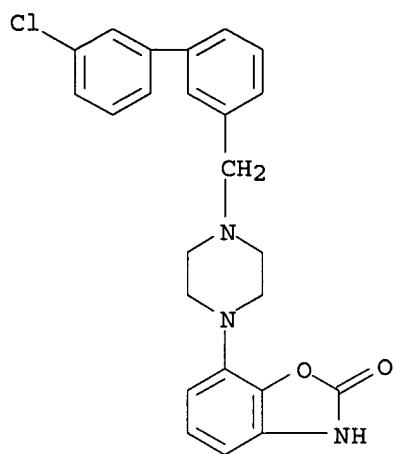
CN 2(3H)-Benzoxazolone, 7-[4-((1,1'-biphenyl)-3-ylmethyl)-1-piperazinyl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 197954-67-9 CAPLUS

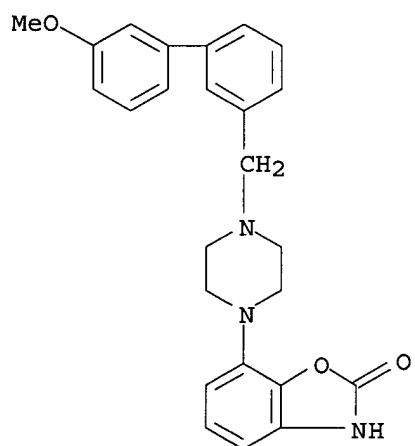
CN 2(3H)-Benzoxazolone, 7-[4-[(3'-chloro[1,1'-biphenyl]-3-yl)methyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 197954-68-0 CAPLUS

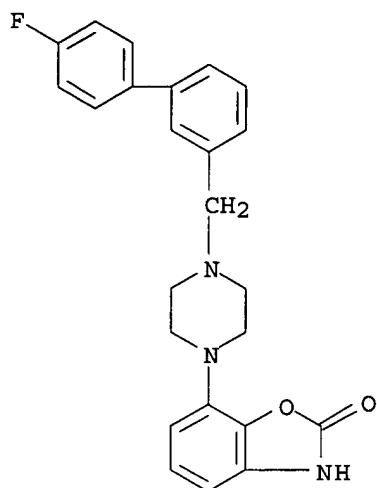
CN 2(3H)-Benzoxazolone, 7-[4-[(3'-methoxy[1,1'-biphenyl]-3-yl)methyl]-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 197954-69-1 CAPLUS

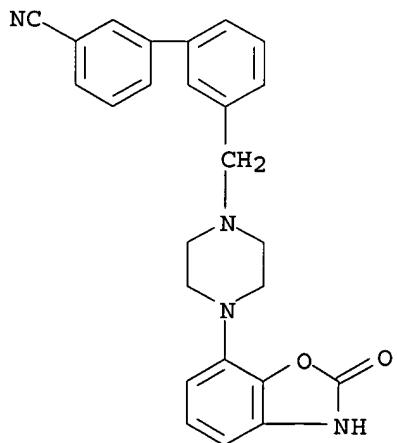
CN 2(3H)-Benzoxazolone, 7-[4-[(4'-fluoro[1,1'-biphenyl]-3-yl)methyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 197954-70-4 CAPLUS

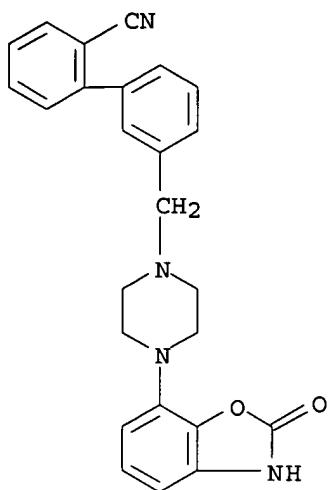
CN [1,1'-Biphenyl]-3-carbonitrile, 3'-[4-[(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 197954-71-5 CAPLUS

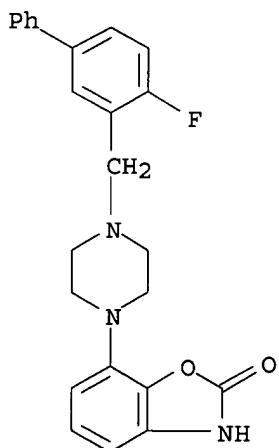
CN [1,1'-Biphenyl]-2-carbonitrile, 3'-[4-[(2,3-dihydro-2-oxo-7-benzoxazolyl)-1-piperazinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 197954-72-6 CAPLUS

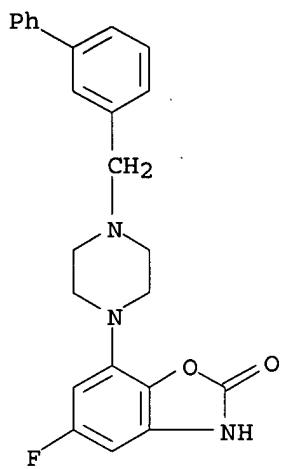
CN 2(3H)-Benzoxazolone, 7-[4-[(4-fluoro[1,1'-biphenyl]-3-yl)methyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 197954-77-1 CAPLUS

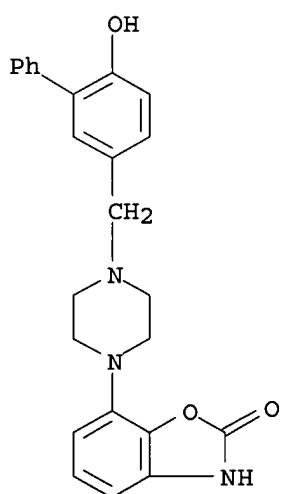
CN 2(3H)-Benzoxazolone, 7-[4-((1,1'-biphenyl)-3-ylmethyl)-1-piperazinyl]-5-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 197954-85-1 CAPLUS

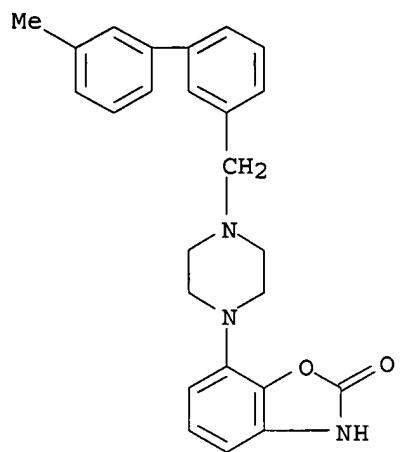
CN 2(3H)-Benzoxazolone, 7-[4-[(6-hydroxy[1,1'-biphenyl]-3-yl)methyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 197955-12-7 CAPLUS

CN 2(3H)-Benzoxazolone, 7-[4-[(3'-methyl[1,1'-biphenyl]-3-yl)methyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
 GI For diagram(s), see printed CA Issue.
 AB The title compds. (I; R1 = alkyl, cycloalkyl, alkoxyalkyl, etc.; p = 0-3; R2 = alkyl; n and q = 0 or 1; R3 = alkylidene, oxo, thioxo, etc.; m = 0-2; A = 5-7 member ring contg. 1-3 O, S, or N), useful as psychotropics, are prepd. Thus, 1-[5-(1,4-benzodioxanyl)]piperazine-HCl was prepd. by treating 5-amino-1,4-benzodioxane with bis(2-chloroethyl)amine-HCl. No pharmacol. activities are described.

ACCESSION NUMBER: 1987:5080 CAPLUS

DOCUMENT NUMBER: 106:5080

TITLE: Preparation of piperazines as psychotropics

PATENT ASSIGNEE(S): Duphar International Research B. V., Neth.

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| JP 61152655 | A2 | 19860711 | JP 1985-285841 | 19851220 |
| EP 189612 | A1 | 19860806 | EP 1985-202085 | 19851216 |
| EP 189612 | B1 | 19921104 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| AT 81975 | E | 19921115 | AT 1985-202085 | 19851216 |
| DK 8505860 | A | 19860622 | DK 1985-5860 | 19851217 |
| AU 8551391 | A1 | 19860626 | AU 1985-51391 | 19851218 |
| AU 588015 | B2 | 19890907 | | |
| ZA 8509663 | A | 19860827 | ZA 1985-9663 | 19851218 |
| ES 550104 | A1 | 19861216 | ES 1985-550104 | 19851218 |
| CA 1271475 | A1 | 19900710 | CA 1985-497977 | 19851218 |
| IL 77395 | A1 | 19910816 | IL 1985-77395 | 19851219 |
| US 5424313 | A | 19950613 | US 1993-135189 | 19931012 |
| NL 1984-3917 | | | | |
| EP 1985-202085 | | | | |
| US 1985-810094 | | | | |
| US 1988-161240 | | | | |
| US 1988-268886 | | | | |
| US 1990-471694 | | | | |
| US 1990-593280 | | | | |
| US 1991-802715 | | | | |
| US 1993-3683 | | | | |

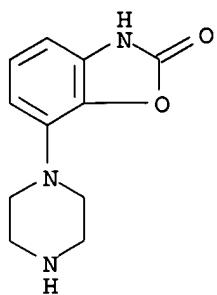
PRIORITY APPLN. INFO.:

IT 105684-76-2P 105685-26-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as psychotropic)

RN 105684-76-2 CAPLUS

CN 2(3H)-Benzoxazolone, 7-(1-piperazinyl)-, dihydrochloride (9CI) (CA INDEX
 NAME)



2 HCl

RN 105685-26-5 CAPLUS

CN 2 (3H) -Benzoxazolone, 7-(1-piperazinyl)- (9CI) (CA INDEX NAME)

